

10/823,372

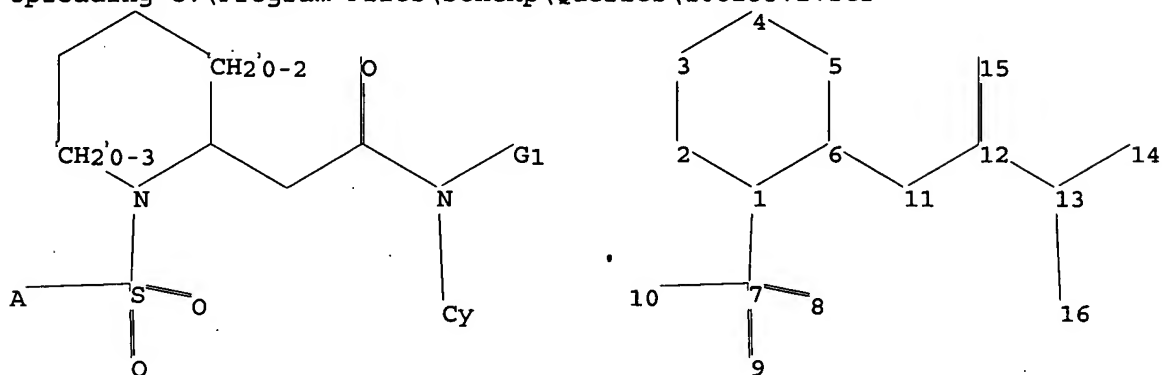
\* \* \* \* \* STN Columbus \* \* \* \* \*

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Uploading C:\Program Files\Stnexp\Queries\10823372.str



chain nodes :

7 8 9 11 12 13 14 15 16

ring nodes :

1 2 3 4 5 6

ring/chain nodes :

10

chain bonds :

1-7 6-11 7-8 7-9 7-10 11-12 12-13 12-15 13-14 13-16

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

1-2 1-6 1-7 2-3 3-4 4-5 5-6 7-8 7-9 7-10 12-13 12-15 13-14 13-16

exact bonds :

6-11 11-12

G1:H,Cy,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:Atom

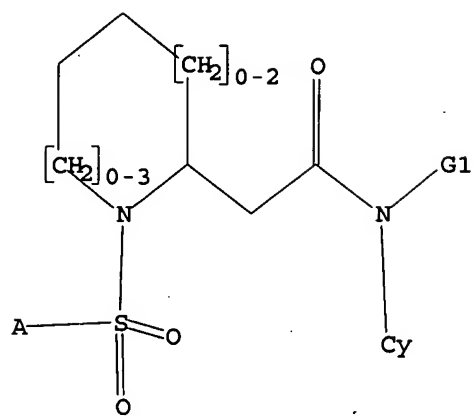
L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

10/823,372



G1 H, Cy, Ak

Structure attributes must be viewed using STN Express query preparation.

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L3 106 SEA SSS FUL L1

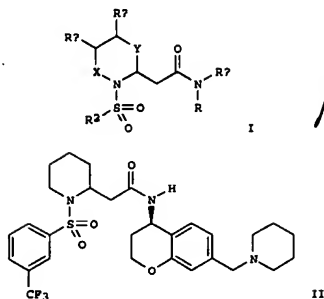
=> file ca

=> s l3  
L4 3 L3

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L4 ANSWER 1 OF 3 CA COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 143:405810 CA  
 TITLE: Preparation of cyclic amine derivatives as bradykinin antagonists and their use in the treatment of pain and inflammation  
 INVENTOR(S): Groneberg, Robert D.; Zhan, James; Askew, Benny C.; D'Amico, Derin C.; Han, Nianhe; Potesch, Christopher H.; Liu, Qingyan; Rishi, Babak; Zhu, Jiewang; Yang, Kevin; Chen, Jian Jeffrey; Momak, Rana  
 PATENT ASSIGNEE(S): Amgen Inc., USA; Array Biopharma, Inc.  
 SOURCE: U.S. Pat. Appl. Publ., 107 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.                            | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------------------------------|------|----------|-----------------|----------|
| US 2005234044                         | A1   | 20051020 | US 2004-823372  | 20040413 |
| PRIORITY APPLN. INFO.: US 2004-823372 |      |          |                 |          |
| OTHER SOURCE(S): MARPAT 143:405810    |      |          |                 |          |
| GI                                    |      |          |                 |          |



*This Application*

AB Title compds. I [wherein X = (CH2)q; Y = (CH2)t; q = 0-3; t = 0-2; when t = 2, q is not 3; R = 9-11-membered fused bicyclic carbocyclic or heterocyclic ring substituted with 1 to 3 basic moieties, and optionally

L4 ANSWER 2 OF 3 CA COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 141:379814 CA  
 TITLE: Preparation of cyclic amine derivatives as bradykinin antagonists and their use in the treatment of pain and inflammation  
 INVENTOR(S): Groneberg, Robert D.; Zhan, James; Askew, Ben; D'Amico, Derin; Han, Nianhe; Potesch, Christopher H.; Liu, Qinglan; Rishi, Babak; Zhu, Jiewang; Yang, Kevin;  
 PATENT ASSIGNEE(S): Amgen, Inc., USA; Array Biopharma, Inc.  
 SOURCE: PCT Int. Appl., 261 pp.  
 CODEN: PIXXO2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2004092164   | A1   | 20041028 | WO 2004-US11670 | 20040412 |
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| RW: BW, GH, GM, KE, LS, MN, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CO, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| CA 2522084  | AA   | 20041028 | CA 2004-2522084 | 20040412 |
| EP 1633743  | A1   | 20060315 | EP 2004-759563  | 20040412 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK   |      |          |                 |          |
| PRIORITY APPLN. INFO.: US 2003-461673P P 20030410   |      |          |                 |          |
| WO 2004-US11670 W 20040412  |      |          |                 |          |
| OTHER SOURCE(S): MARPAT 141:379814  |      |          |                 |          |
| GI  |      |          |                 |          |

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [wherein X = (CH2)q; Y = (CH2)t; q = 0-3; t = 0-2; when t = 2, q is not 3; R = 9-11-membered fused bicyclic carbocyclic or heterocyclic ring substituted with 1 to 3 basic moieties, and optionally substituted with 1 to 3 groups independently selected from NH2, OH, CN, oxo, alkoxy etc.; R2 = (un)substituted arylalkenyl, aryl, heterocyclyl selected from thienyl, imidazolyl, and benzofused heteroaryl; Ra = independently H, alkyl, and aryl optionally substituted with 1 to 3 groups independently selected from halo, OH, CN, alkylamino, alk(en/yn)yl, etc.; Rb = independently H, oxo, OH, benzyloxy, Cl-2-alkyl; Rc = independently H, alkyl, or RbCCRC = 6-membered hetero/aryl optionally substituted with

L4 ANSWER 1 OF 3 CA COPYRIGHT 2006 ACS on STN (Continued)  
 substituted with 1 to 3 groups independently selected from NH2, OH, CN, oxo, alkoxy etc.; R2 = (un)substituted arylalkenyl, aryl, heterocyclyl selected from thienyl, imidazolyl, and benzo-fused heteroaryl; Ra = independently H, alkyl, and aryl optionally substituted with 1 to 3 groups

1 to 3 groups independently selected from halo, OH, CN, CF3, oxo, alkoxy, alkylamino, alkenyl, etc.; and their pharmaceutically acceptable salts] were prepd. as bradykinin antagonists. Seven biol. tests are given. For example, II=HCl was prepd. by reductive amination of

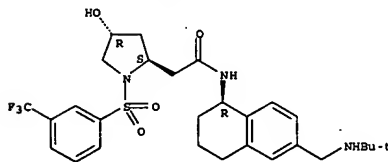
N-((R)-7-formylchroman-4-yl)-2-(1-(3-(trifluoromethyl)benzenesulfonyl)piperidin-2-yl)acetamide (prepn. given) with piperidine in N,N-dimethylacetamide

in the presence of NaBH(OAc)3. Selected I bound to hB1 bradykinin receptor with IC50 values < 100 nm in an in vitro assay using calcium flux. Thus, I are useful for prophylaxis and treatment of diseases and other maladies or conditions involving pain, inflammation mediated by Bradykinin.

IT 783239-90-7P, N-((1R)-6-(((1,1-Dimethylethyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2S,4R)-4-hydroxy-1-((3-(trifluoromethyl)phenyl)sulfonyl)-2-pyrrolidinyl)acetamide  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (bradykinin antagonist; preparation of cyclic amine derivs. as bradykinin

antagonists and their use in treatment of pain and inflammation)  
 RN 783239-90-7 CA  
 CN 2-Pyrrolidineacetamide, N-((1R)-6-(((1,1-dimethylethyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-4-hydroxy-1-((3-(trifluoromethyl)phenyl)sulfonyl)-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 3 CA COPYRIGHT 2006 ACS on STN (Continued)  
 to 3 groups independently selected from halo, OH, CN, CF3, oxo, alkoxy, alkylamino, alkenyl, etc.; and their pharmaceutically acceptable salts] were prepd. as bradykinin antagonists. Seven biol. tests are given. For example, II=HCl was prepd. by reductive amination of aldehyde III (prepn. given) with piperidine in N,N-dimethylacetamide in the presence

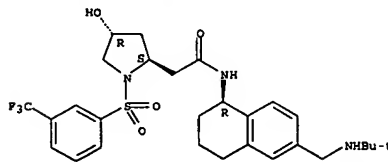
of NaBH(OAc)3. Selected I bound to hB1 bradykinin receptor with IC50 values < 100 nm in an in vitro assay using calcium flux. Thus, I are useful for prophylaxis and treatment of diseases and other maladies or conditions involving pain, inflammation mediated by Bradykinin.

IT 783239-90-7P, N-((1R)-6-(((1,1-Dimethylethyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-2-((2S,4R)-4-hydroxy-1-((3-(trifluoromethyl)phenyl)sulfonyl)-2-pyrrolidinyl)acetamide  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (bradykinin antagonist; preparation of cyclic amine derivs. as bradykinin

antagonists and their use in treatment of pain and inflammation)  
 RN 783239-90-7 CA

CN 2-Pyrrolidineacetamide, N-((1R)-6-(((1,1-dimethylethyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-4-hydroxy-1-((3-(trifluoromethyl)phenyl)sulfonyl)-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



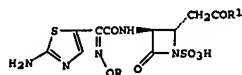
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10/823,372

L4 ANSWER 3 OF 3 CA COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 117:111326 CA  
 TITLE: Synthesis and antibacterial activity of C-4 substituted monobactams  
 AUTHOR(S): Arnould, J. C.; Boudron, P.; Pasquet, M. J.  
 CORPORATE SOURCE: Cent. Rech., ICI-Pharma, Reims, 51064, Fr.  
 SOURCE: European Journal of Medicinal Chemistry (1992), 27(2),

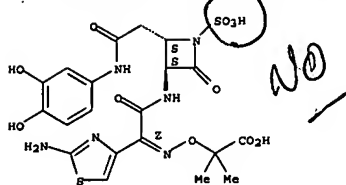
131-40  
 CODEN: EJMCA5; ISSN: 0223-5234  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB Monobactams I [R = Me, CMe2CO2H; R1 = OEt, OH, NHCH2CO2H, NHCH2CO2Me, NHCH2CN, NHC6H3(OH)2-3,4, 4-methylpiperazino, NHCH2CH2R2; R2 = NH2, 1-methyl-4-pyridiniumylamino, 2-thioxoimidazolidin-1-yl (Q), 3,4-(HO)2C6H3CONH] were prepared from 6-aminopenicillanic acid. I (R = Me, R1 = OH, NHCH2CO2H, NHCH2CH2Q) showed good to moderate activity against Gram-neg. bacteria with the exception of Pseudomonas aeruginosa. Introduction of a catechol moiety on the C(4) side chain only slightly improved the activity against P. aeruginosa.  
 IT 141993-00-2P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation and bactericidal activity of)  
 RN 141993-00-2 CA  
 CN Propanoic acid, 2-[[[1-(2-amino-4-thiazolyl)-2-[[2-[2-[(3,4-dihydroxyphenyl)amino]-2-oxoethyl]-4-oxo-1-sulfo-3-azetidinyl]amino]-2-oxoethylidene]amino]oxy]-2-methyl-, [2S-[2α,3β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.

L4 ANSWER 3 OF 3 CA COPYRIGHT 2006 ACS on STN (Continued)



10/823,372

=> file marpat

=> s l1 full

L5 28 SEA SSS FUL L1

=> s l5/com

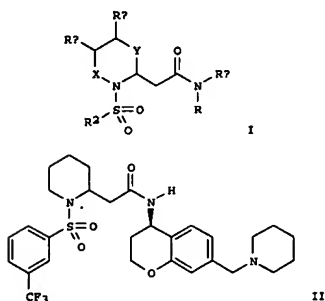
L6 26 L5/COM

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L6 ANSWER 1 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 143:405810 MARPAT  
 TITLE: Preparation of cyclic amine derivatives as bradykinin antagonists and their use in the treatment of pain and inflammation  
 INVENTOR(S): Groneberg, Robert D.; Zhan, James; Askew, Benny C.; D'Amico, Derin C.; Han, Nianhe; Potech, Christopher H.; Liu, Qingyuan; Riahi, Babak; Zhu, Jiawang; Yang, Kevin; Chen, Jian Jeffrey; Nomak, Rana  
 PATENT ASSIGNEE(S): Amgen Inc., USA; Array Biopharma, Inc.  
 SOURCE: U.S. Pat. Appl. Publ., 107 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.                                     | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| US 2005234044                                  | A1   | 20051020 | US 2004-823372  | 20040413 |
| PRIORITY APPLN. INFO.: US 2004-823372 20040413 |      |          |                 |          |

GI



AB Title compds. I [wherein X = (CH<sub>2</sub>)<sub>q</sub>; Y = (CH<sub>2</sub>)<sub>t</sub>; q = 0-3; t = 0-2; when t = 2, q is not 3; R = 9-11-membered fused bicyclic carbocyclic or heterocyclic ring substituted with 1 to 3 basic moieties, and optionally substituted with 1 to 3 groups independently selected from NH<sub>2</sub>, OH, CN, oxo, alkoxy etc.; ; R<sub>2</sub> = (un)substituted arylalkenyl, aryl, heterocyclyl

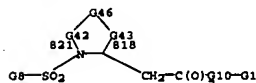
L6 ANSWER 1 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)  
 G46 = 816-821 817-818



Patent location: claim 1  
 Note: substitution is restricted  
 Note: and pharmaceutically acceptable derivatives  
 Note: also incorporates claims 15 and 32

L6 ANSWER 1 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)  
 selected from thienyl, imidazolyl, and benzo-fused heteroaryl; R<sub>a</sub> = independently H, alkyl; and aryl optionally substituted with 1 to 3 groups independently selected from halo, OH, CN, alkylamino, alk(en/yn)yl, etc.; R<sub>b</sub> = independently H, oxo, OH, benzyloxy, C1-2-alkyl; R<sub>c</sub> = independently H, alkyl; or R<sub>b</sub>CCRC = 6-membered heteroaryl optionally substituted with 1 to 3 groups independently selected from halo, OH, CN, CF<sub>3</sub>, oxo, alkoxy, alkylamino, alkenyl, etc.; and their pharmaceutically acceptable salts] were prepd. as bradykinin antagonists. Seven biol. tests are given. For example, II·HCl was prepd. by reductive amination of N-((R)-7-formylchroman-4-yl)-2-[1-(3-trifluoromethylbenzenesulfonyl)piperidin-2-yl]acetamide (prepn. given) with piperidine in the presence of NaBH(OAc)<sub>3</sub>. Selected I bound to hB1 bradykinin receptor with IC<sub>50</sub> values < 100 nm in an in vitro assay using calcium flux. Thus, I are useful for prophylaxis and treatment of diseases and other maladies or conditions involving pain, inflammation mediated by Bradykinin.

NRTR 1



G1 = 19



G8 = thienyl (opt. substd.)  
 G10 = NH  
 G12 = 28-7 29-20 30-18



G13 = CH<sub>2</sub>  
 G42 = (0-3) CH<sub>2</sub>  
 G43 = (0-2) CH<sub>2</sub>

L6 ANSWER 2 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 143:405805 MARPAT  
 TITLE: Preparation of substituted 1-sulfonylpiperidines as γ-secretase inhibitors  
 INVENTOR(S): Asberom, Theodoros; Clader, John W.; Josien, Hubert B.; Pissarnitski, Dmitri A.; Zhao, Zhiqiang; McBriar, D.  
 Mark: Schering Corporation, USA  
 PATENT ASSIGNEE(S): PCT Int. Appl., 134 pp.  
 SOURCE: CODEN: PIXXND  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| WO 2005097768 | A2   | 20051020 | WO 2005-US11456 | 20050404 |
| WO 2005097768 | A3   | 20051215 |                 |          |

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 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KQ, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, NG, SN, TD, TG

US 2006004004 A1 20060105 US 2005-98745 20050404  
 PRIORITY APPLN. INFO.: US 2004-559529P 20040405  
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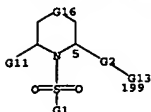
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R<sub>1</sub> = (un)substituted (hetero)aryl; R<sub>2</sub> = carboxamido, alkylene-carboxamido, etc.; R<sub>3</sub> = H, alkyl, alkoxy, OH, amino, acyl, etc.; R<sub>4</sub>-S = H, alkyl; R<sub>6</sub> = (un)substituted (hetero)aryl, (cyclo)alkyl, etc.;  
 m, n, p = 0-3 with some provisions] are prepared For instance, intermediate II is prepared in 4 steps from 6-bromopicolinic acid, 3,5-difluorophenylboronic acid and 4-chlorobenzenesulfonyl chloride. Example compound III is prepared from II in 12 addnl. steps using 2-(piperazin-1-yl)ethanol. III has γ-secretase activity with an IC<sub>50</sub> = 0.0028 μM. I are useful for the treatment of various neurodegenerative diseases and may be used to treat, e.g., Alzheimer's Disease.

NRTR 1

10/823,372

L6 ANSWER 2 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G1 = 369

p-C<sub>6</sub>H<sub>4</sub>Cl  
169

G2 = 200-5 201-199

G10-G12  
200 201

G6 = 73

G10 = alkylene <containing 1-20 C>  
(opt. substd. by 1 or more OH)

G12 = C(O)

G13 = 44



G16 = 209



G29 = (0-1) CH2

Patent location:

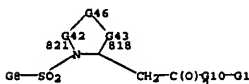
Note:

claim 1  
or pharmaceutically acceptable salts, solvates, or  
estersL6 ANSWER 3 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)  
H, alkyl; or RbCCRC = 6-membered hetero/aryl optionally substituted with

1 to 3 groups independently selected from halo, OH, CN, CF<sub>3</sub>, oxo, alkoxy, alkylamino, alkenyl, etc.; and their pharmaceutically acceptable salts were prepd. as bradykinin antagonists. Seven biol. tests are given. For example, 11-HCl was prepd. by reductive amination of aldehyde III (prepn. given) with piperidine in N,N-dimethylacetamide in the presence

of NaBH(OAc)<sub>3</sub>. Selected I bound to hB1 bradykinin receptor with IC<sub>50</sub> values < 100 nm in an in-vitro assay using calcium flux. Thus, I are useful for prophylaxis and treatment of diseases and other maladies or conditions involving pain, inflammation mediated by Bradykinin.

MSTR 1



G1 = 19



G8 = thienyl (opt. substd.)

G10 = NH

G12 = 28-7 29-20 30-18



G13 = CH2

G42 = (0-3) CH2

G43 = (0-2) CH2

G46 = 816-821 817-818



Patent location:

Note:

Note:

Note:

claim 1  
substitution is restricted  
and pharmaceutically acceptable derivatives  
also incorporates claims 15 and 32

Page 7

L6 ANSWER 3 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

TITLE:

and

INVENTOR(S):

Kevin;

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PCT Int. Appl., 261 pp.

CODEN: PIXXD2

Patent

English

1

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

WO 2004092164

A1

20041028

WO 2004-US11670

20040412

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RW: BW, GH, GM, GR, GU, HK, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MU, MV, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

CA 2522084

AA

20041028

CA 2004-2522084

20040412

EP 1633743

A1

20060315

EP 2004-759563

20040412

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US 2003-461673P

20030410

PRIORITY APPLN. INFO.:

WO 2004-US11670

20040412

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB

Title compds. I (wherein X = (CH<sub>2</sub>)<sub>q</sub>; Y = (CH<sub>2</sub>)<sub>t</sub>; q = 0-3; t = 0-2; when t = 2, q is not 3; R = 9-11-membered fused bicyclic carbocyclic or heterocyclic ring substituted with 1 to 3 basic moieties, and optionally substituted with 1 to 3 groups independently selected from NH<sub>2</sub>, OH, CN, oxo, alkoxy etc.; ; R<sub>2</sub> = (un)substituted arylalkenyl, aryl, heterocyclyl selected from thienyl, imidazolyl, and benzofused heterocaryl; R<sub>a</sub> = independently H, alkyl; and aryl optionally substituted with 1 to 3 groups independently selected from halo, OH, CN, alkylamino, alk(en/yn)yl, etc.; R<sub>b</sub> = independently H, oxo, OH, benzyloxy, C1-2-alkyl; R<sub>c</sub> = independently

L6 ANSWER 3 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT:

6

FORMAT

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L6 ANSWER 4 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 139:358757 MARPAT  
 TITLE: Use of compounds having CCR antagonist  
 INVENTOR(S): Tauchimori, Noboru; Iizawa, Yuji; Shiraishi, Mitsuru;  
 Sugihara, Yoshihiro  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 229 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2003090748   | A1   | 20031106 | WO 2003-JP5172  | 20030423 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| CA 2483253  | AA   | 20031106 | CA 2003-2483253 | 20030423 |
| AU 2003235097   | A1   | 20031110 | AU 2003-235097  | 20030423 |
| JP 2004002402   | A2   | 20040108 | JP 2003-118997  | 20030423 |
| EP 1498125  | A1   | 20050119 | EP 2003-719177  | 20030423 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |      |          |                 |          |
| US 2005245537   | A1   | 20051103 | US 2004-511112  | 20041021 |
| PRIORITY APPLN. INFO.: JP 2002-122832 20020424<br>WO 2003-JP5172 20030423   |      |          |                 |          |

AB It is intended to provide preventives/remedies for graft vs. host disease and/or rejection in organ or bone marrow transplantation, rheumatoid arthritis, autoimmune diseases, allergic diseases, ischemic cerebral cell injury, myocardial infarction, chronic nephritis and arteriosclerosis. The above object can be achieved by preventives/remedies for graft vs. host disease and/or rejection in organ or bone marrow transplantation, rheumatoid arthritis, autoimmune diseases, allergic diseases, ischemic cerebral cell injury, myocardial infarction, chronic nephritis and arteriosclerosis characterized by containing a specific compound having (CC chemokine receptor) antagonist.

MPR 5

G2-G1-G3  
1-2-3-18

G1 = 372-2 366-18

L6 ANSWER 4 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G15=0

G30 = 21

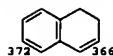
G21  
G23-G21  
G21

Patent location: claim 1  
 Note: or salts

REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 4 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G3 = 4 / 19 / 43

G21  
G23-G21  
G21  
G8-G9  
G26-G12-G13

G7 = bond  
 G9 = 134

G21  
G23-G21  
G21

G12 = 258-43 253-45



G13 = 119

G29-G30  
119 120

G15 = carbon chain <containing 1 or more C, saturated> (opt. subst. by OH)  
 G21 = carbocycle (opt. subst.) / Ph (opt. subst.)  
 G23 = 107

G107

G26 = 502  
 G29 = 50

L6 ANSWER 5 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 139:323539 MARPAT  
 TITLE: Preparation of nitrogenous heterocyclic compounds as sodium channel blockers  
 INVENTOR(S): Ozaki, Fumihiko; Ono, Mutsuko; Kawano, Koki; Norimine, Yoshihiko; Onogi, Tatsuhiro; Yoshinaga, Takashi; Kobayashi, Kiyooki; Suzuki, Hiroyuki; Minami, Hiroe; Sawada, Kohei  
 PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 401 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2003084948   | A1   | 20031016 | WO 2003-JP3064  | 20030314 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| US 2004167224   | A1   | 20040826 | US 2003-388185  | 20030312 |
| US 6995144  | B2   | 20050207 |                 |          |
| CA 2477839  | AA   | 20031016 | CA 2003-2477839 | 20030314 |
| AU 2003213361   | A1   | 20031020 | AU 2003-213361  | 20030314 |
| EP 1484327  | A1   | 20041208 | EP 2003-708607  | 20030314 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |      |          |                 |          |
| CN 1630650  | A    | 20050622 | CN 2003-805850  | 20030314 |
| US 2005245527   | A1   | 20051103 | US 2005-173099  | 20050701 |
| PRIORITY APPLN. INFO.: JP 2002-69529 20020314<br>US 2003-388185 20030312<br>WO 2003-JP3064 20030314   |      |          |                 |          |

AB The title compds. such as (piperidinomethyl)pyrazine and (piperidinomethyl)pyrimidine and (piperidinomethyl)pyridine derivs. represented by the general formula A1-X1-X2-Z1-X3-X4-A2, salts thereof, or hydrates of either: [wherein X1, X2 = a single bond, each (un)substituted C1-6 alkylene, C3-8 cycloalkylene, monocyclic 4- to 8-membered nonarom. heterocyclic ring, C2-6 alkenylene, C2-6 alkynylene, CONH, NHCO, SO2 NH, NH SO2, or, NH, O, CO, S, SO, SO2; X3, X4 = groups listed in X1 and X2, (un)substituted C(NOH) or 5- to 10-membered aromatic heterocyclic ring; Z1 = (un)substituted mono or bicyclic 4- to 12-membered nonarom. heterocyclic ring containing at least one N atom; A2 = each (un)substituted Ph, 1- or 2-naphthyl, 5- to 10-membered aromatic heterocyclic ring, 9- to 11-membered benzene-fused ring, or 9- to 11-membered aromatic heterocyclic ring-fused ring; A1 = C(=O), 5- to 7-membered heterocyclic ring containing N atom, G2, G3 (wherein G1 = O, S, optionally N-C1-6 alkyl-substituted NH; R21 = H,

L6 ANSWER 5 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)  
 C1-6 alkyl; n = 0, 1]] are prepd. These compds. are useful as analgesics and for prevention and treatment of (1) neuralgia including diabetic neuralgia, HIV neuralgia, post-herpes zoster neuralgia, trigeminal neuralgia, stump neuralgia, post-spinal cord injury neuralgia, thalamus neuralgia, and post-stroke neuralgia, and (2) lumbago (backache), nerve root disorder, inflammation, arthralgia, post-surgery pain, cancer pain, cerebral vascular acute nerve disorder, head trauma nerve disorder, spinal cord injury-related nerve damage, Parkinson's disease, multiple sclerosis, epilepsy, insomnia, premature ejaculation, or manic-depressive psychosis. In biol. assays, 3-[4-[(2-fluorophenyl)ethynyl]piperidino]methyl-1H-pyrazin-2-one inhibited ectopic firing with ID50 of 50.5 mg/kg in rats and in vitro showed sodium channel-blocking activity in cultured rat hippocampus with IC50 of 0.4  $\mu$ M.

## MSTR 1B

Q10-Q1-Q20

G1 = 4-1 5-3

Q2-Q16

G2 = 6-1 7-5

Q4-Q3

G3 = 610-6 615-5



G4 = 502

G16 = 534-4 535-3

Q27-Q28  
534 535

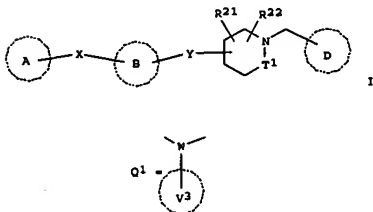
G20 = Ph (opt. substd.)

G27 = 538-4 539-535

L6 ANSWER 6 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)  
 ACCESSION NUMBER: 136:232201 MARPAT  
 TITLE: Preparation of cyclic amine derivatives as CCR3 antagonists  
 INVENTOR(S): Morihiro, Koichiro; Inami, Hiroshi; Kubota, Hirokazu; Yokoyama, Kazuhiro; Morokata, Tatsuki; Takeuchi, Makoto; Takahashi, Toshiya; Kaneko, Masayuki; Imaoka, Takayuki; Torii, Yuichi; Iura, Yosuke  
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Toray Industries, Inc.  
 SOURCE: PCT Int. Appl., 92 pp.  
 DOCUMENT TYPE: CODEN: PIXXD2  
 LANGUAGE: Patent  
 FAMILY ACC. NUM. COUNT: 1 Japanese  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2002018335   | A1   | 20020307 | WO 2001-JP7321  | 20010827 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TH, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH |      |          |                 |          |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| AU 2001080187   | A5   | 20020313 | AU 2001-80187   | 20010827 |
| PRIORITY APPL. INFO.:   |      |          | JP 2000-257451  | 20000828 |
|   |      |          | WO 2001-JP7321  | 20010827 |

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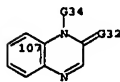
AB The title compds. I [ring A = (un)substituted heterocyclic ring, etc.; X = bond, O, CO, etc.; ring B = Q1, etc.; ring V3 = hydrocarbon ring, etc.; W = CH, N; Y = CO, etc.; R21, R22 = H, halo, etc.; T1 = (CH2)n; n = 0-2; ring D = (un)substituted aryl, etc.] are prepared. In an in vitro test

L6 ANSWER 5 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G28 = NH (opt. substd.)

G30 = 107



Patent location:

Note:

Note:

claim 1

or salts or hydrates

oxo substitution also claimed

REFERENCE COUNT:

THIS

23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 6 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)  
 CCR3 antagonist) using cells, compds. of this invention showed IC50 values of 0.001  $\mu$ M to 0.45  $\mu$ M.

## MSTR 1A

Q1-Q15-Q18-Q26-Q27-Q30

G1 = 7

Q3-Q2

G2 = Ph (opt. substd. by 1 or more G31)

G3 = 59-8 61-2



G7 = alkylene (containing 1-6 C) (opt. substd.)

G15 = 194-1 195-3



G18 = 138-2 139-4



Patent location:

Note:

Note:

claim 1

or pharmacologically acceptable salts

substitution is restricted

REFERENCE COUNT:

FORMAT

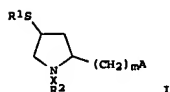
4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L6 ANSWER 7 OF 26 MARPAT COPYRIGHT 2006 ACS ON STN  
 ACCESSION NUMBER: 136:134667 MARPAT  
 TITLE: Preparation of mercaptopyrrolidinecarboxamides related  
 compounds as inhibitors of endothelin-converting enzyme  
 INVENTOR(S): Aebi, Johannes; Blum, Denise; Bur, Daniel; Chucholowski, Alexander; Dehmow, Henrietta; Kitas, Eric Argirios; Loeffler, Bernd Michael; Obst, Ulrike; Wallbaum, Sabine  
 PATENT ASSIGNEE(S): P. Hoffmann-La Roche A.-G., Switz.  
 SOURCE: PCT Int. Appl., 160 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2002006222   | A1   | 20020124 | WO 2001-EP7950  | 20010710 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW |      |          |                 |          |
| RW: OH, OM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| CA 2414311  | AA   | 20020124 | CA 2001-2414311 | 20010710 |
| EP 1303485  | A1   | 20030423 | EP 2001-949485  | 20010710 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |                 |          |
| BR 2001012580   | A    | 20030617 | BR 2001-12580   | 20010710 |
| JP 2004504297   | T2   | 20040212 | JP 2003-512128  | 20010710 |
| CN 1620433  | A    | 20050525 | CN 2001-813023  | 20010710 |
| US 2002049243   | A1   | 20020425 | US 2001-907135  | 20010717 |
| US 6541638  | B2   | 20030401 |                 |          |
| ZA 2003000167   | A    | 20040407 | ZA 2003-167     | 20030107 |
| PRIORITY APPLN. INFO.: EP 2000-114947 20000719<br>WO 2001-EP7950 20010710   |      |          |                 |          |

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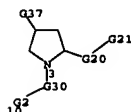


L6 ANSWER 7 OF 26 MARPAT COPYRIGHT 2006 ACS ON STN (Continued)  
 REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L6 ANSWER 7 OF 26 MARPAT COPYRIGHT 2006 ACS ON STN (Continued)

AB Title compds. I; R1 = H, alkylcarbonyl, arylcarbonyl; R2 = alkyl, alkylcycloalkyl, cycloalkyl, haloalkyl, carboxyalkyl, aryl, alkynyl, arylalkoxyalkyl, heterocyclyl, etc.; A = COR3, CH(OH)R4, CONR5R6; R3, R4 = alkyl, aryl, arylalkynyl, aralkyl, arylalkenyl; R5 = H, alkyl, cycloalkyl, cycloalkylalkyl, carboxyalkyl, aralkyl; R6 = alkyl, alkylcarbonylalkyl, cyanoalkyl, hydroxyalkyl, aminocarbonylalkyl, aryl, etc.; m = 0-2; X = SO2, CO, CO2, SO2NH, CONR13; R13 = H, alkyl, aryl, carboxyalkyl, and dimers thereof, were prepared Thus,  
 (2S,4R)-[[4-(4-methoxybenzylsulfanyl)-1-(naphthalene-2-sulfonyl)pyrrolidine-2-carbonyl]methylamino]acetic acid (preparation given) in CH2Cl2 were treated with NMM, HOBT in CH2Cl2, EDCI in CH2Cl2, and o-toluidine in CH2Cl2; the solution was shaken overnight to give  
 a residue which was treated with Et3SiH in CP3CO2H at 80° for 1 h to give (2S,4R)-4-mercapto-1-(naphthalene-2-sulfonyl)pyrrolidine-2-carboxylic acid methyl (o-tolylcarbamoylmethyl)amide. I inhibited endothelin converting enzyme with IC50 = 5-1000 nM.

NOTE 1



G2 = alkyl (containing up to 7 C)  
 G5 = cyclopropyl  
 G20 = (0-2) CH2  
 G21 = 27

25(G)G23

G23 = 29



G30 = SO2  
 Patent location: claim 1  
 Note: and dimeric forms, and pharmaceutically acceptable esters, and salts

L6 ANSWER 8 OF 26 MARPAT COPYRIGHT 2006 ACS ON STN  
 ACCESSION NUMBER: 135:226989 MARPAT  
 TITLE: Synthesis of thiazolol-phenyl-amide derivatives used to inhibit herpes virus replication and treat herpes infection  
 INVENTOR(S): Crute, J. James; Faucher, Anne-Marie; Grygion, Christine; Hargrave, Karl D.; Simoneau, Bruno; Thavonekham, Bounkham  
 PATENT ASSIGNEE(S): Boehringer Ingelheim Ltd., Can.; Boehringer Ingelheim Pharma KG  
 SOURCE: U.S., 61 pp., Cont.-in-part of U.S. Ser. No. 759,201.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| US 6288091   | B1   | 20010911 | US 1999-364446  | 19990730 |
| CN 1207094   | A    | 19990203 | CN 1996-199443  | 19961204 |
| US 6057451   | A    | 20000502 | US 1996-759201  | 19961204 |
| ZA 9610850   | A    | 19970630 | ZA 1996-10850   | 19961223 |
| US 6348477   | B1   | 20020219 | US 1999-456857  | 19991208 |
| US 6458959   | B1   | 20021001 | US 2000-685686  | 20001010 |
| PRIORITY APPLN. INFO.: US 1995-9433P 19951229<br>US 1996-23209P 19960802<br>US 1996-759201 19961204<br>US 1999-456857 19991208 |      |          |                 |          |

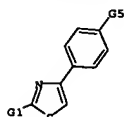
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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

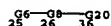
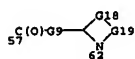
AB Title compds. I [R = H, alkyl(amino), amino, alkanoylamino, etc.; Z = NR2-C(O)-Q-CH(R3)-NR4R5; R2 = H, alkyl; Q = bond, CH2; R3 = H, ((substituted)phenyl)alkyl; R4 = H, ((substituted)phenyl)alkyl, indenyl, cycloalkyl-alkyl; R5 = (Het)-(Y)-(alkyl)-C(O); Het = pyridinyl; Y = O, S] were prepared Over 200 synthetic examples were disclosed. For instance, Boc-glycine was N-benzylated (NaH, PhCH2Br, THF, reflux, 16 h) and the product converted to II (1-BuOCOC1, Et3N, DCM, 4'-aminoacetophenone, room temperature, 16 h). Amide II was converted to example compound III (n = 0, P = Boc, E = CH2Ph) (I2, thiourea, IPA, reflux, 2.5 h.). III (n = 0, P = CH2Ph, E = C(Ph)) had IC50 = 0.072 µM for HSV-1 and EC50 = 0.007 µM for human cytomegalovirus. I are used for treating herpes infection by inhibiting the herpes helicase-primase enzyme complex.

NOTE 1

L6 ANSWER 8 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G5 = 25

G6 = NH  
G8 = 57-25 62-36G9 = CH2  
G10 = (2-3) CH2  
G19 = CH2  
G20 = 83G22 = Ph  
Patent location:  
Note:  
Note:  
Note:claim 1  
also incorporates broader disclosure  
or therapeutically acceptable acid addition salts  
substitution is restrictedREFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR  
THIS

FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L6 ANSWER 9 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

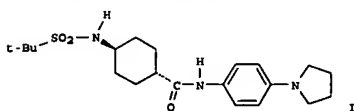
ACCESSION NUMBER: 135:19547 MARPAT

TITLE: Preparation of sulfonamides and sulfinamides as NPY  
YSINVENTOR(S): antagonists  
Kawanishi, Yasuyuki; Takenaka, Hideyuki; Hanasaki,  
Kohji; Okada, Tetsuo  
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan  
SOURCE: PCT Int. Appl., 273 pp.  
CODEN: PIXXD2DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2001037826   | A1   | 20010531 | WO 2000-JP8197  | 20001121 |
| M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW |      |          |                 |          |
| RM: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TO  |      |          |                 |          |
| CA 2389681  | AA   | 20010531 | CA 2000-2389681 | 20001121 |
| AU 2001014186   | A5   | 20010604 | AU 2001-14186   | 20001121 |
| AU 780790   | B2   | 20050414 |                 |          |
| BR 2000015843   | A    | 20020827 | BR 2000-15843   | 20001121 |
| EP 1249233  | A1   | 20021016 | EP 2000-976287  | 20001121 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |                 |          |
| NZ 519070   | A    | 20050826 | NZ 2000-519070  | 20001121 |
| RU 2264810  | C3   | 20051127 | RU 2002-117021  | 20001121 |
| ZA 2002003306   | A    | 20030425 | ZA 2002-3306    | 20020425 |
| US 6699891  | B1   | 20040302 | US 2002-111981  | 20020501 |
| NO 200202481  | A    | 20020726 | NO 2002-2481    | 20020524 |
| US 2004176462   | A1   | 20040909 | US 2003-747034  | 20031230 |
| US 2004180964   | A1   | 20040916 | US 2003-747359  | 20031230 |
| PRIORITY APPLN. INFO.: JP 1999-136469 19991126<br>JP 1999-137786 19991214<br>WO 2000-JP8197 20001121<br>US 2002-111981 20020501   |      |          |                 |          |

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L6 ANSWER 9 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

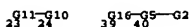


AB The title compds. R1S(O)n(R2)XYZ [R1 represents lower alkyl, cycloalkyl, etc.; R2 represents hydrogen, lower alkyl, etc.; n is 1 or 2; X represents lower alkylene, lower alkenylene, arylene, cycloalkylene, etc.; Y represents CONR7, CSNR7, NR7CO, NR7CS, etc. (wherein R7 represents hydrogen or lower alkyl); and Z represents lower alkyl, an optionally substituted hydrocarbon ring, an optionally substituted heterocycle, etc.] are prepared in an in vitro test for affinity for the neuropeptide Y5 receptors, the title compound I showed the IC50 value of 0.4 nM. Formulations are given.

MSTR 1



G1 = 23 / 39

G2 = Pr-1  
G3 = NH  
G5 = SO2  
G7 = 15G8 = O  
G9 = Ph (opt. substd.)  
G10 = 3

G16 = 54-5 44-40

L6 ANSWER 9 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G17 = bond  
G18 = alkylene <containing 1-6 C>  
Patent location: claim 1  
Note: and prodrugs and pharmacologically acceptable saltsREFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR  
THIS

FORMAT

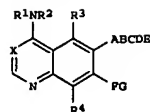
RECORD. ALL CITATIONS AVAILABLE IN THE RE

L6 ANSWER 10 OF 26 MARPAT COPYRIGHT 2006 ACS ON STN  
 ACCSSION NUMBER: 133:207919 MARPAT  
 TITLE: Preparation of 4-amino-quinazoline and quinoline derivatives having an inhibitory effect on signal transduction mediated by tyrosine kinases useful for treating tumoral diseases, lung and respiratory tract diseases  
 INVENTOR(S): Himmelsbach, Frank; Langkopf, Elke; Jung, Birgit; Metz, Thomas; Solca, Flavio; Blech, Stefan  
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany  
 SOURCE: PCT Int. Appl., 232 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

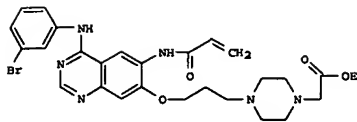
| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|---|------|----------|------------------|----------|
| WO 2000051991   | A1   | 20000908 | WO 2000-EP1496   | 20000224 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW |      |          |                  |          |
| RM: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CP, CO, CI, CN, GA, GN, GW, ML, MR, NE, SN, TD, TO  |      |          |                  |          |
| DE 19908567   | A1   | 20000831 | DE 1999-19908567 | 19990227 |
| DE 19911366   | A1   | 20000921 | DE 1999-19911366 | 19990315 |
| DE 19928306   | A1   | 20001228 | DE 1999-19928306 | 19990621 |
| DE 19954816   | A1   | 20010517 | DE 1999-19954816 | 19991113 |
| CA 2361174  | AA   | 20000908 | CA 2000-2361174  | 20000224 |
| EP 1157011  | A1   | 20011128 | EP 2000-910695   | 20000224 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |          |                  |          |
| BR 200008524  | A    | 20011218 | BR 2000-8524     | 20000224 |
| JP 2002538145   | T2   | 20021112 | JP 2000-602218   | 20000224 |
| JP 3751201  | B2   | 20060301 |                  |          |
| EE 200100449  | A    | 20021216 | EE 2001-449      | 20000224 |
| BQ 105765   | A    | 20020329 | BQ 2001-105765   | 20010801 |
| HR 2001000617   | A1   | 20021031 | HR 2001-617      | 20010823 |
| NO 2001004114   | A    | 20011015 | NO 2001-4114     | 20010824 |
| US 6972288  | B1   | 20051206 | US 2002-914323   | 20020206 |
| PRIORITY APPL. INFO.:   |      |          | DE 1999-19908567 | 19990227 |
|   |      |          | DE 1999-19911366 | 19990315 |
|   |      |          | DE 1999-19928306 | 19990621 |
|   |      |          | US 1999-149329P  | 19990817 |
|   |      |          | DE 1999-19954816 | 19991113 |
|   |      |          | WO 2000-EP1496   | 20000224 |

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L6 ANSWER 10 OF 26 MARPAT COPYRIGHT 2006 ACS ON STN (Continued)



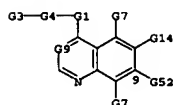
I



II

AB Title compds. [I; R1 = H, C1-C4-alkyl; R2 = (un)substituted Ph, benzyl, 1-phenylethyl; R3, R4 independently = H, F, Cl, CH3O, CH3OCH2, (CH3)2NCH2, (CH3CH2)2NCH2, pyrrolidino, piperidino, morpholino; X = C(CN), N; A = O, NH, (C1-C4)-alkyl; B = CO, SO2; C = 1,3-allenylene, 1,1-vinylene, 1,2-vinylene, 1,3-butadiene-1,4-ylene, with CH3, CF3 substitution; D = alkylene, CO-alkylene, SO2-alkylene; CO, SO2; E = HOCO(CH2)nNR5, (HO)2P(O)(CH2)nNR5; n = 1-6; R5 = H, alkyl, tautomers, stereoisomers, and physiol. acceptable salts are prepared and having valuable pharmacol. properties, particularly an inhibiting effect on signal transduction mediated by tyrosine kinases. Title compds. are useful for treating tumoral diseases, diseases of the lungs and respiratory tract. Thus, the title compound II was prepared and tested by Cell Titer 96TM Aqueous Nonradioactive Cell Proliferation Assay.

NSTR 1



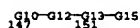
G4 = bond

L6 ANSWER 10 OF 26 MARPAT COPYRIGHT 2006 ACS ON STN (Continued)

G9 = 145



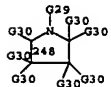
G10 = NH  
 G12 = C(O)  
 G13 = carbon chain <containing 2 or more C, 1-2 double bonds, 0-1 triple bond> (opt. substd. by F)  
 G14 = 147



G15 = 152



G20 = 248



G29 = alkylsulfonyl &lt;containing 1-4 C&gt;

G50 = bond

Patent location:

Note:

Note:

Note:

Stereochemistry:

claim 1  
 and tautomers and salts  
 also incorporates claim 22  
 substitution is restricted  
 and stereoisomers

REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 11 OF 26 MARPAT COPYRIGHT 2006 ACS ON STN

ACCSSION NUMBER: 132:166521 MARPAT

TITLE: Preparation of monocyclic compounds having NK-2 antagonist action

INVENTOR(S): Altamura, Maria; Criscuoli, Marco; Guidi, Antonio; Perrotta, Enzo; Maggi, Carlo Alberto

PATENT ASSIGNEE(S): Menarini Ricerche S.p.A., Italy

SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

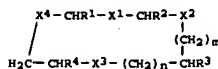
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.   | DATE     |
|---|------|----------|-------------------|----------|
| WO 2000008046   | A1   | 20000217 | WO 1999-EP5459    | 19990730 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MM, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                   |          |
| RM: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CP, CO, CI, CN, GA, GN, GW, ML, MR, NE, SN, TD, TO  |      |          |                   |          |
| IT 1304888  | B1   | 20010405 | IT 1998-FI186     | 19980805 |
| TM 491857   | B    | 20020621 | TM 1999-8812671   | 19990727 |
| CA 2339638  | AA   | 20000217 | CA 1999-2339638   | 19990730 |
| AU 9955079  | A1   | 20000228 | AU 1999-55079     | 19990730 |
| TR 200100354  | T2   | 20010521 | TR 2001-200100354 | 19990730 |
| EP 1102789  | A1   | 20010530 | EP 1999-941479    | 19990730 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |          |                   |          |
| EP 1297826  | A1   | 20030402 | EP 2001-123120    | 20010927 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |                   |          |
| PRIORITY APPL. INFO.:   |      |          | IT 1998-FI186     | 19980805 |
|   |      |          | WO 1999-EP5459    | 19990730 |

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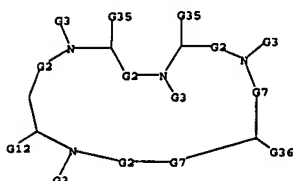


I

AB Cyclic peptides I [X1, X2, X3, X4 = CONR, NRCO, CH2NR, NRCH2 (R = H, alkyl, benzyl); m, n = 0, 1, 2; R1, R2 = aryl, arylmethyl, 2-arylethyl; R3 = aryl, arylmethyl, 2-arylethyl; R4 = NR8R9 (R8 = H, alkyl; R9 = methanesulfonyl, tosyl, tetrahydropyranyl, tetrahydrothiopyranyl or 6-oxides, piperidyl or N-substituted derivs., morpholino-, furyl- or cyanoalkyl, etc.)] or their pharmaceutically acceptable salts were prepared

L6 ANSWER 11 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)  
as NK-2 antagonists. Thus, cyclo[Suc[1-(4-tetrahydropyranylamino)-Trp-Phe-[(R)-NHCH(CH<sub>2</sub>Ph)CH<sub>2</sub>NH]]] (Suc = succinyl group) was prep'd. by a multistep procedure starting from H-Trp-Phe-OH and assayed as antagonist on the NK-2 receptor of tachykinins (binding const. pK<sub>i</sub> = 8.5).

# MYR 1A



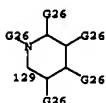
G2 = C(O)  
G7 = (0-2) CH<sub>2</sub>  
G12 = 43

G13-G15  
43

G13 = NH  
G15 = 90

G1-G21-G22  
90

G21 = alkylene <containing 1-3 C, unbranched>  
G22 = 129



G26 = SO<sub>2</sub>NH<sub>2</sub>

Derivative:

Patent location:

Note:

Stereochemistry:

and pharmaceutically acceptable salts

claim 1

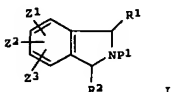
additional substitution also claimed

and enantiomers or diastereoisomers

L6 ANSWER 12 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 131:116225 MARPAT  
TITLE: Preparation of isoindole derivatives as endothelin receptor antagonists  
INVENTOR(S): Elliott, John Duncan; Franz, Robert Gene; Lago, M. Amparo; Gao, Aiming  
PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA  
SOURCE: U.S., 9 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.           | KIND | DATE     | APPLICATION NO. | DATE     |
|----------------------|------|----------|-----------------|----------|
| US 5929106           | A    | 19990727 | US 1997-958781  | 19971027 |
| PRIORITY APPL. INFO. |      |          | US 1997-958781  | 19971027 |



AB Dihydroisoindole compds. of formula (I); R<sub>1</sub> = X (CH<sub>2</sub>)<sub>n</sub>R<sub>8</sub>; R<sub>2</sub> = H, Ar, C1-4 alkyl; R<sub>3</sub> = tetrazolyl, SO<sub>2</sub>NR<sub>11</sub>, (CH<sub>2</sub>)<sub>5</sub>CO<sub>2</sub>R<sub>7</sub>; Z<sub>1</sub>, Z<sub>2</sub> = H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, OH, C1-8 alkoxy, C1-8 alkyl-(S)q, (un)substituted NH<sub>2</sub>, Br, F, Iodo, NHCHO, C1-4 alkylcarbonylamino, Ph, CH<sub>2</sub>Ph, etc.; or Z<sub>1</sub> and Z<sub>2</sub> together may be O-A-O on contiguous carbons; wherein A = CO, (un)substituted CH<sub>2</sub>; Z<sub>3</sub> = Z<sub>1</sub>, X-R<sub>9</sub>-Y; X = (CH<sub>2</sub>)<sub>n</sub>, O, (un)substituted NH; wherein Y = Me, X(CH<sub>2</sub>)<sub>n</sub>Ar; wherein R<sub>7</sub> = H, C1-10 alkyl, C2-10 alkenyl, C2-8 alkynyl, (CH<sub>2</sub>)<sub>n</sub>Ar; R<sub>8</sub> = R<sub>11</sub>, CO<sub>2</sub>R<sub>7</sub>, CO<sub>2</sub>C(R<sub>11</sub>)<sub>2</sub>CO<sub>2</sub>R<sub>7</sub>, PO<sub>3</sub>(R<sub>7</sub>)<sub>2</sub>, SO<sub>2</sub>NR<sub>7</sub>R<sub>11</sub>, NR<sub>7</sub>SO<sub>2</sub>R<sub>11</sub>, CONR<sub>7</sub>SO<sub>2</sub>R<sub>11</sub>, SO<sub>3</sub>R<sub>7</sub>, SO<sub>2</sub>R<sub>7</sub>, cyano, etc.; R<sub>9</sub> = (CH<sub>2</sub>)<sub>n</sub>, C1-10 alkylene, C2-10 alkenylene, phenylene, CO, C1-5 alkyl-X; R<sub>11</sub> = H, Ar, C1-8 alkylene, C2-8 alkenylene, C2-8 alkynylene, etc.; Ar = (un)substituted Ph, naphthyl, indolyl, pyridyl, thienyl, oxazolidinyl, oxazolyl, thiazolyl, isothiazolyl, pyrazolyl, triazolyl, tetrazolyl, imidazolyl, imidazolidinyl, thiazolidinyl, isoxazolyl, oxadiazolyl, thiadiazolyl, morpholinyl, piperidinyl, piperazinyl, pyrrolidyl, pyrimidyl, etc.; wherein n = 0-6; q = 0-2 are prepared. The compds. are applied in the treatment of hypertension and cardiovascular and renal diseases. Thus, Me [(1R,3R)-3-[(2-hydroxy-4-methoxyphenyl)-1-(3,4-methylenedioxyphenyl)-5-prop-1-yloxy-(1H,3H-dihydroisoindol-2-yl)acetate in dry DMF was added potassium carbonate under argon, stirred at room temperature for 20 min, then treated with Et bromoacetate, and stirred for 24 h, followed by saponification and acidification, to give the title compound (II). Title compds. inhibited [125 I]ET-1 binding to membranes from rat cerebellum or kidney cortex or CHO cell membranes with IC<sub>50</sub> of 0.01 nM to 50 μM and ET-1-induced vascular contraction using rat aorta with dissociation constant of 0.1 nM to 50

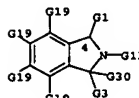
L6 ANSWER 11 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 12 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)  
competitive antagonists.

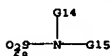
# MYR 1



G1 = 10 / 78 / 86

G10-G6 G22-G21 G23-G(0)-G24  
10 11 78 79 86 87

G10 = G11  
G11 = (1-12) CH<sub>2</sub>  
G13 = 68



G14 = Ph (opt. substd.)  
G22 = G11  
G23 = G11  
G24 = 103



Patent location: claim 1  
Note: also incorporates broader disclosure  
Note: additional ring formation also claimed  
Note: optional presence of a double bond also claimed

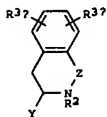
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

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L6 ANSWER 13 OF 26 MARPAT COPYRIGHT 2006 ACS ON STN (Continued)  
 131:31939 MARPAT  
 ACCESSION NUMBER: 131:31939 MARPAT  
 TITLE: Preparation of N-imidazolylethyl tetrahydroisoquinolinecarboxamides and related compounds as inhibitors of farnesyl-protein transferase.  
 INVENTOR(S): Ciccarone, Terrence M.; Desolma, S. Jane  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
 SOURCE: PCT Int. Appl., 184 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

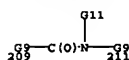
| PATENT NO.            | KIND   | DATE     | APPLICATION NO. | DATE     |
|-----------------------|--|----------|-----------------|----------|
| WO 9928314            | A1   | 19990610 | WO 1998-US25383 | 19981130 |
| W:                    | AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, GD, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG |          |                 |          |
| US 5922590            | A  | 19990603 | US 1997-985337  | 19971204 |
| CA 2311928            | AA   | 19990610 | CA 1998-2311928 | 19981130 |
| AU 9918004            | A1   | 19990616 | AU 1999-18004   | 19981130 |
| EP 1045844            | A1   | 20001025 | EP 1998-962855  | 19981130 |
| R:                    | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO   |          |                 |          |
| PRIORITY APPL. INFO.: |  |          | US 1997-985337  | 19971204 |
|                       |  |          | WO 1998-US25383 | 19981130 |

GI



AB Title compds. [I; Y = (R4)RVA1[C(R1a)2]nA2[C(R1a)2]n[W(R5)]t[C(R1b)2]pX[C(R1c)2]q; R1a, R1b, R1c = H, (substituted) alkyl, aryl, heterocyclyl, cycloalkyl, alkenyl, alkynyl, cyano, NO2, N3, R80, N(R8)2, etc.; R2 = H, (substituted) alkyl, alkenyl, aryl, heterocyclyl, COR6, CONR6R7, SO2R6, etc.; R3a, R3b = H, (substituted) alkyl, aryl, heterocyclyl, cycloalkyl, alkenyl, alkynyl, halo, perfluoroalkyl, R80, etc.; R4 = H, (substituted) alkyl, aryl, heterocyclyl, cycloalkyl, alkenyl, alkynyl, perfluoroalkyl, F, Cl, Br, R80, cyano, NO2, R8CO, N(R8)2, etc.; R5 = H, alkenyl, alkynyl,

L6 ANSWER 13 OF 26 MARPAT COPYRIGHT 2006 ACS ON STN (Continued)



G9 = carbon chain (containing 1 or more C, 0 or more double bonds, 0 or more triple bonds) (opt. substd.)

G13 = 94



G17 = (1-2) CH2

G23 = cycloalkyl (containing 3-6 C) (opt. substd.)

Derivative: or pharmaceutically acceptable salts

Patent location: claim 1

Note: substitution is restricted

Note: additional derivatization also claimed

Stereochemistry: or optical isomers

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 13 OF 26 MARPAT COPYRIGHT 2006 ACS ON STN (Continued)  
 cycloalkyl, perfluoroalkyl, F, Cl, Br, R80, R80C, N3, N(R8)2, NO2, R8CO, N3, etc.; R6, R7 = H, (substituted) alkyl, cycloalkyl, heterocyclyl,

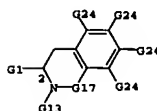
aryl, perfluoroalkyl; R6R7 = atoms to form a ring; R8 = H, alkyl, PhCH2, F3CCH2,

aryl; A1, A2 = bond, CH:CH, C.tplbond.C, CO, CONR8, O, NR8, S, SO, SO2, etc.; J, K = N, NH, CH, CH2, V = H, heterocyclyl, aryl, (heteroatom-interrupted) alkyl, alkenyl; W = heterocyclyl; X = bond, S, SO, SO2, O, CO, NR10, NR10CO, etc.; R10 = H, R8CO, (substituted) alkyl, cycloalkyl, heterocyclyl, etc.; Z = (CH2)u; r = 0-5; n, p, q = 0-4; s =

1,

2; t = 0, 1, 2; with proviso(s), were prep. as drugs (no data). Thus, 1,2,3,4-tetrahydroisoquinoline-3(S)-carboxylic acid [2-[3-(4-cyanobenzyl)-3H-imidazol-4-yl]ethyl]amide hydrochloride in MeOH was treated with Et3N, PhCHO, and NaBH3CN followed by 18 h stirring to give 2-benzyl-1,2,3,4-tetrahydroisoquinoline-3(S)-carboxylic acid [2-[3-(4-cyanobenzyl)-3H-imidazol-4-yl]ethyl]amide.

MUTR 1



G1 = 3



G2 = 5-2 6-4



G3 = 116-5 115-4



G4 = 209-2 211-6

L6 ANSWER 14 OF 26 MARPAT COPYRIGHT 2006 ACS ON STN  
 131:19012 MARPAT  
 ACCESSION NUMBER: 131:19012 MARPAT  
 TITLE: Preparation of N-imidazolylethyl benzylpiperazinecarboxamides and related compounds as inhibitors of farnesyl-protein transferase.

INVENTOR(S): Desolma, S. Jane  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
 SOURCE: PCT Int. Appl., 145 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.            | KIND   | DATE     | APPLICATION NO. | DATE     |
|-----------------------|--|----------|-----------------|----------|
| WO 9927933            | A1   | 19990610 | WO 1998-US25348 | 19981130 |
| W:                    | AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, GD, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG |          |                 |          |
| US 5972966            | A  | 19991026 | US 1997-985124  | 19971204 |
| CA 2312361            | AA   | 19990610 | CA 1998-2312361 | 19981130 |
| AU 9915391            | A1   | 19990616 | AU 1999-15391   | 19981130 |
| EP 1035852            | A1   | 20000920 | EP 1998-959632  | 19981130 |
| R:                    | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO   |          |                 |          |
| PRIORITY APPL. INFO.: |  |          | US 1997-985124  | 19971204 |
|                       |  |          | WO 1998-US25348 | 19981130 |

GI



AB Title compds. [I; Y = (R4)RVA1[C(R1a)2]nA2[C(R1a)2]n[W(R5)]t[C(R1b)2]pX[C(R1c)2]q; Z = (CH2)u; R1a, R1b, R3 = H, (substituted) alkyl, aryl, heterocyclyl, cycloalkyl, alkenyl, alkynyl, R80, N(R8)2, cyano, NO2, etc.; R2 = H, (substituted) alkyl, alkenyl, aryl, heterocyclyl, COR6, CONR6R7, SO2R6; R4 = H, (substituted) alkyl, aryl, heterocyclyl, cycloalkyl, alkenyl, alkynyl, perfluoroalkyl, F, Cl, Br, R80, cyano, NO2, R8CO, N(R8)2, etc.; R5 = H, alkenyl, alkynyl, cycloalkyl, perfluoroalkyl, F, Cl, Br, R80, R80C, N3, N(R8)2, NO2, R8CO, N3, etc.; R6, R7 = H, (substituted) alkyl, cycloalkyl, heterocyclyl, aryl, perfluoroalkyl; R6R7 = atoms to form a ring; R8 = H, alkyl, PhCH2, F3CCH2, aryl; A1, A2 = bond, CH:CH, C.tplbond.C, CO, CONR8, O, NR8, S, SO, SO2, etc.; V = H, heterocyclyl, aryl, alkenyl, (heteroatom-interrupted) alkyl; W = heterocyclyl; X = bond,

L6 ANSWER 14 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)  
S, SO, SO2, O, CO, NR10, NR10CO, etc.; R10 = H, R8CO, (substituted)

alkyl  
etc.; n, p, q = 0-4; s = 1, 2; t = 0, 1; u = 1, 2; with proviso(s), were  
prepd. as drugs (no data). Thus, piperidine-2(S)-carboxylic acid  
[2-[3-(4-cyanobenzyl)-3H-imidazol-4-yl]ethyl]amide dihydrochloride,  
2,2-diphenylacetaldehyde, Et3N, and NaBH3CN were stirred 18 h in MeOH to  
give 1-(2,2-diphenylethyl)piperidine-2(S)-carboxylic acid  
[2-[3-(4-cyanobenzyl)-3H-imidazol-4-yl]ethyl]amide trihydrochloride.

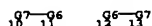
# MYR 1



G1 = (1-2) CH2  
G2 = 5 / 45 / 111



G5 = 10-1 11-3 / 12-1 13-3



G6 = NH (opt. substd.)  
G7 = C(O)  
G8 = Ph (opt. substd.)  
G12 = alkylene (containing 1-16 C, unbranched)  
(opt. substd. by 1 or more G4)  
G13 = 46-43 47-45 / 48-43 49-45



G18 = 99



G21 = cycloalkyl (containing 3-6 C) (opt. substd.)  
G23 = 112-110 113-6 / 114-110 115-6



L6 ANSWER 15 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 129:216626 MARPAT  
TITLE: Tricyclic compounds  
[benzocycloheptapyridinyl]piperazin

INVENTOR(S):

PATENT ASSIGNEE(S):  
SOURCE:

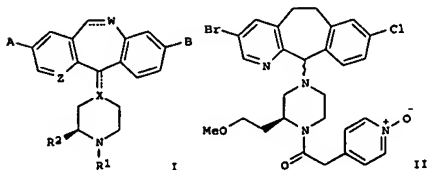
DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| US 5801175  | A    | 19980901 | US 1996-713324  | 19960913 |
| WO 9631478  | A1   | 19961010 | WO 1996-US4172  | 19960403 |
| W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU |      |          |                 |          |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CO, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| US 6214827  | B1   | 20010410 | US 1998-108124  | 19980623 |
| PRIORITY APPLN. INFO.:  |      |          | US 1995-418323  | 19950407 |
|   |      |          | WO 1996-US4172  | 19960403 |
|   |      |          | US 1996-713324  | 19960913 |

G1



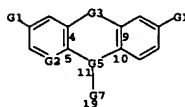
AB Novel comds. I are disclosed [wherein A, B = H, halo, Cl-6 alkyl; Z = N, CH; W = CH, CH2, O, S; X = C, CH, N; R1 = various sidechains, such as COCH(NH2)CH2SH, CH2CH(NH2)CH2SH, COCH(SH)CH2NH2, COCHMeNHCH(CO2H)CH2CH2Ph, etc.; R2 = H, CO2H or deriva., (un)substituted alk(en/yn)yl, etc.]. Also disclosed is a method of inhibiting Ras function, and therefore inhibiting the abnormal growth of cells, using I. For instance, amidation of 4-pyridineacetic acid N-oxide with the corresponding amine using DEC and HOBT gave title compound II, which had IC50 of 0.034 μM for inhibition

L6 ANSWER 14 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

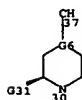
Derivative: or pharmaceutically acceptable salts  
Patent location: claim 1  
Note: substitution is restricted  
Note: additional interruptions of alkylene groups in G3 and G12 also claimed  
Stereochemistry: or optical isomers  
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L6 ANSWER 15 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)  
farnesyl protein transferase in vitro.

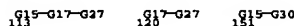
# MYR 1



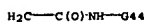
G5 = 37-5 30-19 37-10



G6 = CH  
G7 = 113 / 120 / 151



G15 = SO2  
G17 = alkylene (opt. substd. by G18)  
G31 = 272



G44 = cyclopropyl  
Derivative: or dimers or pharmaceutically acceptable salts  
Patent location: claim 1  
Note: additional ring formation specified  
Note: substitution is restricted  
Note: also incorporates broader disclosure

REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L6 ANSWER 16 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 129:161558 MARPAT  
 TITLE: Preparation and formulation of thiazolidinedione derivatives as phospholipase A2 inhibitors  
 INVENTOR(S): Seno, Kaoru; Ohtani, Mitsuki; Watanabe, Fumihiko  
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 178 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

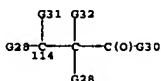
| PATENT NO.             | KIND   | DATE     | APPLICATION NO.  | DATE     |
|------------------------|--|----------|------------------|----------|
| WO 9833797             | A1   | 19980806 | WO 1998-JP307    | 19980127 |
| W:                     | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GR, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG |          |                  |          |
| TW 577875              | B  | 20040301 | TW 1998-87101064 | 19980126 |
| CA 2277947             | AA   | 19980806 | CA 1998-2277947  | 19980127 |
| CA 2277947             | C  | 20040921 |                  |          |
| AU 9855775             | A1   | 19980825 | AU 1998-55775    | 19980127 |
| AU 719210              | B2   | 20000504 |                  |          |
| BR 9807132             | A  | 20000125 | BR 1998-7132     | 19980127 |
| EP 976748              | A1   | 20000202 | EP 1998-900741   | 19980127 |
| EP 976748              | B1   | 20031203 |                  |          |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI   |          |                  |          |
| TR 9901847             | T2   | 20000621 | TR 1999-9901847  | 19980127 |
| RU 2198174             | C2   | 20030210 | RU 1999-119481   | 19980127 |
| AT 255579              | E  | 20031215 | AT 1998-900741   | 19980127 |
| PT 976748              | PT   | 20040331 | PT 1998-900741   | 19980127 |
| ES 2210710             | T3   | 20040701 | ES 1998-900741   | 19980127 |
| US 6147100             | A  | 20001114 | US 1999-155008   | 19990722 |
| NO 9903706             | A  | 19990930 | NO 1999-1706     | 19990729 |
| NO 313881              | B1   | 20021216 |                  |          |
| MX 9907061             | A  | 20000228 | MX 1999-7061     | 19990729 |
| PRIORITY APPLN. INFO.: |  |          | JP 1997-17962    | 19970131 |
|                        |  |          | WO 1998-JP307    | 19980127 |

GI

L6 ANSWER 16 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)  
 G20 = 106

G21-G27  
 106 107

G21 = 602  
 G27 = 114



G38 = 142-7 141-96 142-9

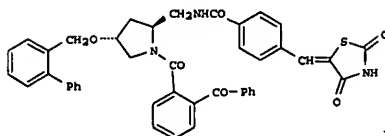
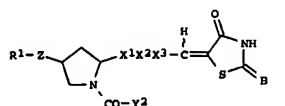


Derivative: or pharmacologically acceptable salts or hydrates  
 Patent location: claim 1  
 Note: substitution is restricted

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

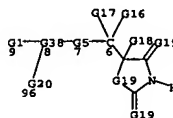
FORMAT

L6 ANSWER 16 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



AB The title compds., e.g. I [ R1 represents optionally substituted alkyl, etc.; Z represents optionally alkylated nitrogen, etc.; X1 represents CH2NHCO, etc.; X2 represents phenylene, etc.; X3 represents a single bond, etc.; Y2 represents optionally substituted aryl, etc.; and B represents oxygen, etc.], are prepared in an in vitro test for cPLA2 inhibition, the title compound II showed IC50 of 0.17 μM.

MSTR 1



G5 = 24-8 26-6



G6 = alkylene <containing 1-3 C, unbranched>  
 G7 = NH  
 G19 = O

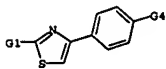
L6 ANSWER 17 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 127:149142 MARPAT  
 TITLE: Preparation of 4-(aminothiazolyl)acetanilides and analogs as antiherpes agents  
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA; Boehringer Ingelheim (Canada) Ltd.  
 SOURCE: PCT Int. Appl., 336 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE     |
|------------------------|--|----------|-----------------|----------|
| WO 9724343             | A1   | 19970710 | WO 1996-US19111 | 19961204 |
| W:                     | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |          |
| RM:                    | KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG   |          |                 |          |
| AU 9716828             | A1   | 19970728 | AU 1997-16828   | 19961204 |
| EP 871619              | A1   | 19981021 | EP 1996-945567  | 19961204 |
| EP 871619              | B1   | 20021106 |                 |          |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |          |                 |          |
| CN 1207094             | A  | 19990203 | CN 1996-199443  | 19961204 |
| BR 9612435             | A  | 19990713 | BR 1996-12435   | 19961204 |
| JP 2000502702          | T2   | 20000307 | JP 1997-524325  | 19961204 |
| NZ 331104              | A  | 20000327 | NZ 1996-331104  | 19961204 |
| AT 227279              | E  | 20021115 | AT 1996-945567  | 19961204 |
| ES 2186811             | T3   | 20030516 | ES 1996-945567  | 19961204 |
| CA 2192433             | AA   | 19970630 | CA 1996-2192433 | 19961209 |
| ZA 9610850             | A  | 19970630 | ZA 1996-10850   | 19961223 |
| NO 9802950             | A  | 19980625 | NO 1998-2950    | 19980625 |
| US 6458959             | B1   | 20021001 | US 2000-685686  | 20001010 |
| PRIORITY APPLN. INFO.: |  |          | US 1995-9431P   | 19951229 |
|                        |  |          | US 1996-23209P  | 19960802 |
|                        |  |          | US 1996-759201  | 19961204 |
|                        |  |          | WO 1996-US19111 | 19961204 |
|                        |  |          | US 1999-456857  | 19991208 |

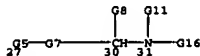
AB 4-RC6H4R1 [I; R = (un)substituted 4-thiazolyl; R1 = NR2CO2CH2CH2NR4R5, NR2aCO2CH2CH2NR4a, etc.; R2, R2a = H or alkyl; R3 = H, alkyl, (un)substituted phenyl(alkyl); R3a = H, (cyano)alkyl, CH2CH2OH, phenyl(alkyl), etc.; R4 = H, alkyl, phenylalkyl, heterocyclyl, etc.; R4a = alkyl, phenyl(alkyl), etc.; R3R4 = atoms to form a ring; NR3aR4a = heterocyclyl; R5 = alkyl, phenyl(alkyl), heterocyclyl, etc.; Z1 = bond or CH2; Z2 = bond or CO] were prepared for treating herpes infections by inhibiting the herpes helicase-primase enzyme complex. Thus, Me3CO2CNC6H4CO2H was N-alkylated by PhCH2Br and the product amidated by 4-(H2N)C6H4COMe to give, after cyclocondensation with H2NCSNH2 and deprotection, I (R = 2-amino-4-thiazolyl, R1 = NHCOCH2NHCH2Ph). Data for biol. activity of I were given.

MSTR 1

L6 ANSWER 17 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G4 = 27



G5 = NH  
G7 = 323-27 324-30



G14 = (2-3) CH2  
G15 = CH2  
G16 = 75



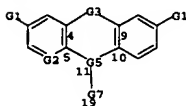
G17 = Ph  
G8 + G11 = 55-30 56-31



Derivative: or therapeutically acceptable acid addition salts  
Patent location: claim 1

L6 ANSWER 18 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)  
AB The title compds. [I; A, B = H, halogen, alkyl; R1 = COCN(NH2)CH2SH, CH2CH(NH2)CH2SH, COCH(NH2)CH2NH2, CH2CH(SH)CH2NH2, etc.; W = CH, CH2, O, S; X = C, CH, N; the dotted lines represent optional double bonds and when present W = CH and X = C), useful for inhibiting the Ras function and therefore inhibiting the abnormal growth of cells (e.g., cancer) via the inhibition of farnesyl protein transferase, are prepared and I-containing formulations presented. Thus, pyridine derivative II was prepared and demonstrated a tumor cell IC50 of 12.5 μM.

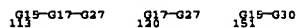
MSTR 1



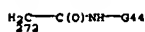
G5 = 37-5 30-19 37-10



G6 = CH  
G7 = 113 / 120 / 151



G15 = 802  
G17 = alkylene (opt. substd. by G18)  
G31 = 272



G44 = cyclopropyl  
Derivative: or dimers or pharmaceutically acceptable salts  
Patent location: claim 1  
Note: additional ring formation specified  
Note: substitution is restricted

L6 ANSWER 18 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 126:7997 MARPAT  
TITLE: Preparation of heterocyclic tricyclic compounds  
useful for inhibition of g-protein function and for treatment of cell proliferative diseases  
INVENTOR(S): Afonso, Adriano; Baldwin, John J.; Doll, Ronald J.; Li, Ge; Mallams, Alan K.; Njoroge, F. George; Rane, Dinanath P.; Reader, John C.; Rossman, Randall R.  
PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacoceps, Inc.  
SOURCE: PCT Int. Appl., 135 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|---|------|----------|------------------|----------|
| WO 9631478  | A1   | 19961010 | WO 1996-US4172   | 19960403 |
| W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU |      |          |                  |          |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LJ, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TO  |      |          |                  |          |
| IL 117798   | A1   | 20011125 | IL 1996-117798   | 19960402 |
| CA 2217499  | AA   | 19961010 | CA 1996-2217499  | 19960403 |
| CA 2217499  | C    | 20040330 |                  |          |
| AU 9655279  | A1   | 19961023 | AU 1996-55279    | 19960403 |
| AU 719990   | B2   | 20000518 |                  |          |
| EP 819121   | A1   | 19980121 | EP 1996-912469   | 19960403 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI   |      |          |                  |          |
| BR 9604787  | A    | 19980707 | BR 1996-4787     | 19960403 |
| CN 1187189  | A    | 19980708 | CN 1996-194571   | 19960403 |
| JP 10511981   | T2   | 19981117 | JP 1996-530364   | 19960403 |
| JP 3038017  | B2   | 20000508 |                  |          |
| NZ 306665   | A    | 20000128 | NZ 1996-306665   | 19960403 |
| TW 462968   | B    | 20011111 | TW 1996-85103970 | 19960405 |
| US 5801175  | A    | 19980901 | US 1996-713124   | 19960913 |
| NO 9704610  | A    | 19971208 | NO 1997-4610     | 19971006 |
| NO 314082   | B1   | 20030127 |                  |          |
| US 6214827  | B1   | 20010410 | US 1998-108124   | 19980623 |
| US 1995-418323  |      |          | US 1995-418323   | 19950407 |
| WO 1996-US4172  |      |          | WO 1996-US4172   | 19960403 |
| US 1996-713124  |      |          | US 1996-713124   | 19960913 |

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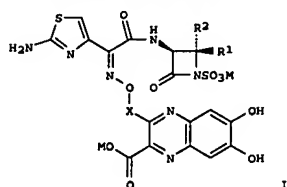
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

L6 ANSWER 19 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 122:187250 MARPAT  
TITLE: Heteroaryl derivatives of monocyclic beta-lactam antibiotics  
INVENTOR(S): Koester, William H.; Sundeen, Joseph E.; Straub, Henner; Ermann, Peter; Treuner, Uwe D.; Ambery, Kent; Fakes, Michael; Varia, Suresh A.  
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA  
SOURCE: U.S., 22 pp. Cont.-in-part of U.S. Ser. No. 608,945 abandoned.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

| PATENT NO.     | KIND | DATE     | APPLICATION NO. | DATE     |
|----------------|------|----------|-----------------|----------|
| US 5290929     | A    | 19940301 | US 1992-941600  | 19920908 |
| ZA 9108014     | A    | 19920729 | ZA 1991-8014    | 19911007 |
| CA 2053359     | AA   | 19920506 | CA 1991-2053359 | 19911011 |
| CA 2053359     | C    | 20040113 |                 |          |
| IN 176680      | A    | 19960824 | IN 1991-DE995   | 19911015 |
| IL 99829       | A1   | 19970110 | IL 1991-99829   | 19911023 |
| IL 118368      | A1   | 19970910 | IL 1996-118368  | 19911023 |
| AU 9186941     | A1   | 19920507 | AU 1991-86941   | 19911101 |
| AU 648835      | B2   | 19940505 |                 |          |
| FI 9105194     | A    | 19920506 | FI 1991-5194    | 19911104 |
| NO 9104320     | A    | 19920506 | NO 1991-4320    | 19911104 |
| HU 59921       | A2   | 19920728 | HU 1991-3462    | 19911104 |
| HU 211402      | B    | 19951128 |                 |          |
| KR 210631      | B1   | 19990715 | KR 1991-19523   | 19911104 |
| CN 1061414     | A    | 19920527 | CN 1991-108478  | 19911105 |
| CN 1031825     | B    | 19960522 |                 |          |
| JP 04283579    | A2   | 19921008 | JP 1991-288600  | 19911105 |
| JP 3157565     | B2   | 20010416 |                 |          |
| PL 167312      | B1   | 19950831 | PL 1991-292287  | 19911105 |
| AT 178604      | E    | 19990415 | AT 1991-118838  | 19911105 |
| ES 2129397     | T3   | 19990616 | ES 1991-118838  | 19911105 |
| JP 2000239246  | A2   | 20000905 | JP 2000-75432   | 19911105 |
| JP 3299734     | B2   | 20020708 |                 |          |
| SK 282124      | B6   | 20011106 | SK 1991-3345    | 19911105 |
| CZ 289671      | B6   | 20020313 | CZ 1991-3345    | 19911105 |
| US 5420277     | A    | 19950530 | US 1993-157801  | 19931129 |
| AU 9468892     | A1   | 19941006 | AU 1994-68892   | 19940803 |
| AU 659780      | B2   | 19950525 |                 |          |
| US 5705645     | A    | 19980106 | US 1995-399793  | 19950307 |
| CN 1113228     | A    | 19951213 | CN 1995-104831  | 19950428 |
| CN 1067053     | B    | 20010613 |                 |          |
| CN 1251836     | A    | 20000503 |                 |          |
| US 1999-111789 |      |          | US 1999-111789  | 19990810 |
| US 1990-608945 |      |          | US 1990-608945  | 19901105 |
| IL 1991-99829  |      |          | IL 1991-99829   | 19911023 |
| JP 1991-288600 |      |          | JP 1991-288600  | 19911105 |
| US 1992-941600 |      |          | US 1992-941600  | 19920908 |
| US 1993-157801 |      |          | US 1993-157801  | 19931129 |

GI

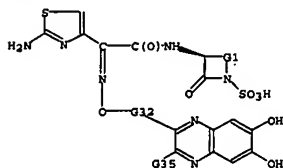
L6 ANSWER 19 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



AB Antibacterial activity against both gram-pos. and gram-neg. organisms is exhibited (no data) by the prepared novel compds. I (R1, R2 = H, alk(en/yn)yl, (un)substituted Ph or heterocyclyl, CO2H, SH or OH or derivs., etc.; M = H, tetraalkylammonium, Na, K, other acceptable cation; X = (CH2)n where n = 0-4, CR3R4 where R3 and R4 = H, Me, Et, or where

R3R4 = atoms to form a 3- through 7-membered cycloalkyl ring]. For example, oximation of (2R-cis)-3-[[[2-(formylamino)-4-thiazolyl]oxoacetyl]amino]-2-methyl-4-oxo-1-azetidinesulfonic acid Bu4N+ salt (preparation given) with 3-[[aminooxymethyl]-6,7-dihydroxy-2-quinoxalinecarboxylic acid-HCl in aqueous solution at pH 2.0, and deformation of the product by HCl in aqueous THF at pH 0.8-1.0 over 20 h, gave I (R1 = Me, R2 = M = H, X = CH2). Preps. of approx. 7 I and numerous intermediates are described.

## MSTR 1

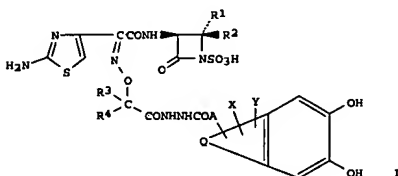


G1 = 19

L6 ANSWER 20 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 122:55819 MARPAT  
 TITLE: Heterocyclic hydrazide derivatives of monocyclic β-lactam antibiotics  
 INVENTOR(S): Ermann, Peter H.; Straub, Henner  
 PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA  
 SOURCE: U.S., 20 pp. Cont. of U.S. Ser. No. 410,217, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|-------------|------|----------|-----------------|----------|
| US 5318963  | A    | 19940607 | US 1990-620170  | 19901130 |
| CA 2024282  | AA   | 19910322 | CA 1990-2024282 | 19900830 |
| JP 03120276 | A2   | 19910522 | JP 1990-254057  | 19900921 |
|             |      |          | US 1989-410217  | 19890921 |

PRIORITY APPLN. INFO.:  
 G1



AB Antibacterial (no data) compds. (I) and pharmaceutically acceptable salts thereof, wherein: A is a bond or alkylene; Q completes a 5- or 6-membered saturated or unsatd. (including aromatic) heterocyclic ring having one or two heteroatoms in the ring selected from nitrogen, NRS .tplbond.N+R6, sulfur or oxygen; X is attached to an available carbon atom in the heterocyclic ring and is hydrogen, amino, hydroxyl, halogen, carboxamide, nitrile, or carbonyl, except that Y is not carbonyl when the bicyclic ring completed by Q is 2-quinolyl, 3-quinolyl, or quinoxalyl; and the remaining symbols are as defined in the specification.

## MSTR 1

L6 ANSWER 19 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G2 = 79

G30-C(O)-G15

G14 = Ph (opt. substd.)

G15 = 39



G30 = G31

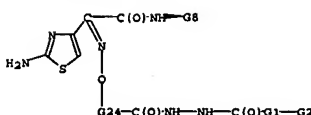
G31 = (0-2) CH2

G32 = G33

G33 = (0-4) CH2

Patent location: claim 1

L6 ANSWER 20 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G1 = bond

G8 = 41



G9 = 61

G13-G16

61 62

G13 = 67-42 68-62

G14-C(O)

67 68

G14 = (0-3) CH2

G16 = 77



G17 = Ph (opt. substd.)

Derivative: and pharmaceutically acceptable salts  
 Patent location: claim 1  
 Note: substitution is restricted

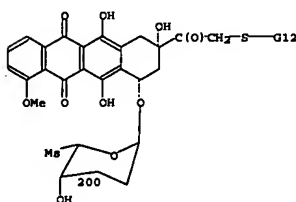
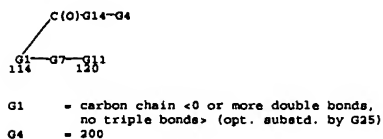
L6 ANSWER 21 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 119:210716 MARPAT  
 TITLE: Prodrugs activated by targeted catalytic proteins  
 INVENTOR(S): Kenten, John Henry; Von Borstel, Reid; Casadei, Jan M.; Kamireddy, Balreddy; Martin, Mark T.; Massey, Richard J.; Napper, Andrew D.; Simpson, David M.; Smith, Rodger O.; et al.  
 PATENT ASSIGNEE(S): Igen, Inc., USA  
 SOURCE: PCT Int. Appl., 371 pp.  
 CODEN: PXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 19  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 9302703  | A1   | 19930318 | WO 1992-US6530  | 19920804 |
| W: AU, CA, JP, KR   |      |          |                 |          |
| RU: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE    |      |          |                 |          |
| AU 9224408  | A1   | 19930302 | AU 1992-24408   | 19920804 |
| AU 673335   | B2   | 19961107 |                 |          |
| EP 746336   | A1   | 19961211 | EP 1992-917526  | 19920804 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE |      |          |                 |          |
| CN 1070409  | A    | 19930331 | CN 1992-110882  | 19920805 |
| CN 1044911  | B    | 19990901 |                 |          |
| ZA 9205892  | A    | 19940106 | ZA 1992-5882    | 19920805 |
| CN 1217335  | A    | 19990526 | CN 1996-123479  | 19961230 |
| US 2002045231   | A1   | 20020418 | US 2001-817502  | 20010326 |
| US 2003096765   | A1   | 20030522 | US 2002-205115  | 20020725 |
| US 2005123533   | A1   | 20050609 | US 2003-699966  | 20031103 |
| PRIORITY APPLN. INFO.:  |      |          | US 1991-740501  | 19910805 |
|   |      |          | US 1991-773042  | 19911010 |
|   |      |          | US 1992-919851  | 19920731 |
|   |      |          | US 1988-190271  | 19880504 |
|   |      |          | US 1991-761868  | 19910903 |
|   |      |          | WO 1992-US6530  | 19920804 |
|   |      |          | US 1993-52490   | 19930423 |
|   |      |          | US 1994-325540  | 19941018 |
|   |      |          | US 1999-241876  | 19990202 |
|   |      |          | US 2002-205115  | 20020725 |

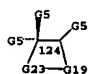
AB Disclosed are prodrugs activated by catalytic proteins, e.g. enzymes and catalytic antibodies, and haptens of the prodrugs to elicit catalytic antibodies to activate the prodrugs. The prodrugs are useful as cytotoxic chemotherapeutic agents. Methods are also provided for converting a variety of cancer chemotherapy drugs to substantially nontoxic prodrugs which are stable to endogenous enzymes but which can be activated in or near tumors by prior administration of tumor-selective agents, e.g. tumor-associated enzymes or antibodies conjugated or connected to a protein catalyst, which convert the prodrug to active cytotoxic agents. Prodrug of 5'-O-(2,6-dimethoxybenzoyl)-5-fluorouridine (I) was prepared by reaction of 2,6-dimethoxybenzoyl chloride and 2',3'-O-isopropylidene-5-fluorouridine in pyridine followed by acid hydrolysis using 50% HCO<sub>2</sub>H at 65°.

L6 ANSWER 21 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)  
 The toxicity of I in mice, as measured by effect on segmented neutrophil counts, was substantially >50 times less toxic than 5-fluorouridine. The prep. of the transition state analog, the phosphonate ester of 5'-O-(2,6-dimethoxybenzoyl)-5-fluorouridine, is also described.

#### FIGURE 24A



G6 = SO3H  
 G7 = G9  
 G9 = (0-4) CH2  
 G11 = 124

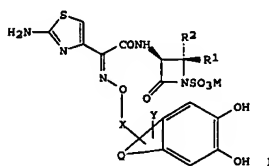


G20-G6  
 245  
 G20 = N

L6 ANSWER 21 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)  
 G23 = CHOH  
 Patent location: claim 52

L6 ANSWER 22 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 119:49139 MARPAT  
 TITLE: Preparation of heteroarylsulfonamides as antibiotics  
 INVENTOR(S): Straub, Henner; Drossard, Jakob Matthias  
 PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA  
 SOURCE: Eur. Pat. Appl., 29 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| EP 531976   | A1   | 19930317 | EP 1992-115431  | 19920909 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE |      |          |                 |          |
| US 5250691  | A    | 19931005 | US 1991-756939  | 19910909 |
| CA 2077493  | AA   | 19930310 | CA 1992-2077493 | 19920903 |
| JP 05213946   | A2   | 19930824 | JP 1992-239419  | 19920908 |
| PRIORITY APPLN. INFO.:  |      |          | US 1991-756939  | 19910909 |
| GI  |      |          |                 |          |

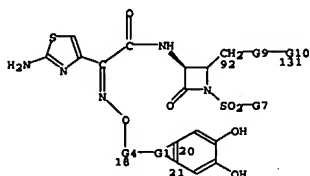


AB Title compds. I (R1, R2 = H, alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, (substituted) Ph, etc., or 1 of R1, R2 = H, the other N3, halomethyl, alkoxyalkyl, phenylethyl, phenylethynyl, phenylethynyl, CO2H, azidomethyl, aminomethyl, hydroxymethyl, carboxymethyl, alkoxyalkylmethyl, alkanoylaminoethyl, etc.; X = (CH2)<sub>n</sub>, CR3R4, n = 1-4; R3, R4 = H, Me, Et; R3R4C = C3-7 cycloalkyl; Y = H, amino, OH, halo, carboxamido, carboxyl; Q = (oxo-substituted) 6-membered aromatic or nonarom. ring except quinoxalins; M = H, pharmaceutically acceptable cation) were prepared as antibiotics (no data). Thus, 3-[(aminooxy)methyl]-6,7-dihydroxy-4-oxo-1(4H)-quinolineacetic acid (preparation from 1,2-dihydroxybenzene in many steps given) and (2R-cis)-3-[[[(2-amino-4-thiazolyl)oxoacetyl]amino]-2-methyl-4-oxo-1-azetidine]sulfonic acid (preparation from (2R-cis)-3-amino-2-methyl-4-oxo-1-azetidine-sulfonic acid and 2-formylaminothiazol-4-ylglyoxylic acid given) were coupled in DMF brought to pH 2 with 1N HCl over 48 h to give (2R-[2a,3a(2)])-3-[[[(1)-(2-amino-4-thiazolyl)-2-[(2-methyl-4-oxo-1-sulfo-3-azetidinyl)amino]-2-

10/823,372

L6 ANSWER 23 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)  
oxoethylidene]amino]oxy)methyl]-6,7-dihydroxy-4-oxo-1(4H)-quinoline  
acetic  
acid, disodium salt.

NOTE 1C



G7 = OH  
G9 = C(=O)  
G10 = 116



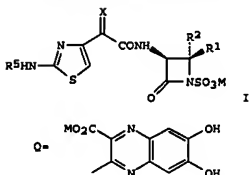
G11 = Ph (opt. substd. by 1 or more G12)  
Derivative: or salts  
Patent location: claim 1

L6 ANSWER 23 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 117:90045 MARPAT  
TITLE: Preparation of 3-[(2-aminothiazolyl-2-  
[(quinoxalinyloxy)imino]acetamido)-4-oxo-1-  
azetidinylsulfonates as antibacterial agents  
Koster, William H.; Sundeen, Joseph E.; Straub,  
Henner; Ermann, Peter Hans; Treuner, Uwe D.  
PATENT ASSIGNEE(S): E. R. Equibb and Sons, Inc., USA  
SOURCE: Eur. Pat. Appl., 50 pp.  
CODEN: EPXIDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| EP 484881   | A2   | 19920513 | EP 1991-118838  | 19911105 |
| EP 484881   | A3   | 19921014 |                 |          |
| EP 484881   | B1   | 19990407 |                 |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE |      |          |                 |          |
| ZA 9108014  | A    | 19920729 | ZA 1991-8014    | 19911007 |
| CA 2053359  | AA   | 19920506 | CA 1991-2053359 | 19911011 |
| CA 2053359  | C    | 20040113 |                 |          |
| IN 176680   | A    | 19960824 | IN 1991-DE995   | 19911015 |
| IL 99829  | A1   | 19970110 | IL 1991-99829   | 19911023 |
| IL 118268   | A1   | 19970930 | IL 1996-118368  | 19911023 |
| AU 9186941  | A1   | 19920507 | AU 1991-86941   | 19911101 |
| AU 648835   | B2   | 19940505 |                 |          |
| FI 9105194  | A    | 19920506 | FI 1991-5194    | 19911104 |
| NO 9104320  | A    | 19920506 | NO 1991-4320    | 19911104 |
| HU 59921  | A2   | 19920728 | HU 1991-3462    | 19911104 |
| HU 211402   | B    | 19951128 |                 |          |
| KR 210631   | B1   | 19990715 | KR 1991-19523   | 19911104 |
| CN 1061414  | A    | 19920527 | CN 1991-108478  | 19911105 |
| CN 1031825  | B    | 19960522 |                 |          |
| JP 04283579   | A2   | 19921008 | JP 1991-288600  | 19911105 |
| JP 3157565  | B2   | 20010416 |                 |          |
| PL 167312   | B1   | 19950831 | PL 1991-292287  | 19911105 |
| AT 178604   | E    | 19990415 | AT 1991-118838  | 19911105 |
| ES 2129397  | T3   | 19990616 | ES 1991-118838  | 19911105 |
| JP 2000239246   | A2   | 20000905 | JP 2000-75432   | 19911105 |
| JP 3299734  | B2   | 20040708 |                 |          |
| SK 282124   | B6   | 20011106 | SK 1991-3345    | 19911105 |
| CZ 289671   | B6   | 20020313 | CZ 1991-3345    | 19911105 |
| AU 9468892  | A1   | 19941006 | AU 1994-68892   | 19940803 |
| AU 659780   | B2   | 19950525 |                 |          |
| CN 1113228  | A    | 19951213 | CN 1995-104831  | 19950428 |
| CN 1067053  | B    | 20010613 |                 |          |
| CN 1251836  | A    | 20000503 |                 |          |
| PRIORITY APPLN. INFO.:                                    |      |          |                 |          |
|   |      |          | CN 1999-111789  | 19990810 |
|   |      |          | US 1990-608945  | 19901105 |
|   |      |          | IL 1991-99829   | 19911023 |
|   |      |          | JP 1991-288600  | 19911105 |

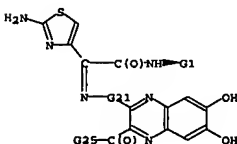
G1

L6 ANSWER 23 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

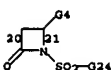


AB Title compds. [I; M = H, tetraalkylammonium, Na, K, etc.; R1, R2 = H, (cyclo)alkyl, alkenyl, heterocyclyl, (substituted) Ph, etc.; R5 = H; X = NO2R; R = quinoxalinyloxy group; Z = (CH2)0-4, CR3R4; R3, R4 = H, Me, Et; R3R4 = (CH2)2-6] were prepared as antibacterial agents (no data). Thus, MeCOCO2CMe3 (preparation given) was cyclocondensed with 5,6-diamino-2,2'-dimethyl-1,3-benzodioxole and the brominated product condensed with (Me3CO2C)2NOH (preparation given) to give, after deprotection, QCH2ONH2 (M = CMe3) which was condensed with I (M = NBu4, R1 = Me, R2 = H, R5 = CHO, X = O) to give, after deprotection, I (M = R2 = R5 = H, R1 = Me, X = NOCH2O) in which M = H).

NOTE 1A



G1 = 20



G4 = 61

L6 ANSWER 23 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G15 = 67-21 68-62



G16 = (1-3) CH2  
G18 = 77



G19 = Ph (opt. substd.)  
G21 = G22  
G22 = (0-4) CH2  
G24 = OH  
Patent location: claim 1

L6 ANSWER 24 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 116:59075 MARPAT  
 TITLE: Monobactam hydrazides containing catechol sulfonic acid groups  
 INVENTOR(S): Sundeen, Joseph E.; Zahler, Robert; Jendrzewski, Stefan  
 PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA  
 SOURCE: U.S., 15 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|-------------|------|----------|-----------------|----------|
| US 5030724  | A    | 19910709 | US 1990-468412  | 19900122 |
| CA 2032817  | AA   | 19910723 | CA 1990-2032817 | 19901220 |
| EP 438752   | A1   | 19910731 | EP 1990-125064  | 19901221 |
| JP 06340662 | A2   | 19941213 | JP 1991-22860   | 19910122 |
| US 5077432  | A    | 19911231 | US 1991-651871  | 19910207 |
|             |      |          | US 1990-468412  | 19900122 |

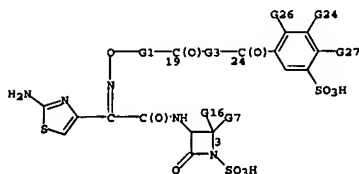
PRIORITY APPLN. INFO.:

G1 For diagram(s), see printed CA Issue.

AB Title compds. [I; R1, R2, R3, R4 = H, alkyl; R1R2 = cycloalkyl; R3R4 = (CH2)n; n = 3-5; R5, R6 = H, alkyl, alkenyl, alkynyl, cycloalkyl, (substituted) Ph, heterocyclyl; R3, halomethyl, alkoxy, carbonyl, cyano, PhCH2CH, CO2H, etc.; R7 = H, (substituted) alkanoyl, PhCO, heteroarylcarbonyl, phenylalkenyl, heteroarylalkenyl; Y1, Y2 = H, OR7; Y1 = Y2), having good activity against gram-neg. bacteria (no data), were prepared Thus, [2S-(2a,3BZ)]-2-[[[1-(2-amino-4-thiazolyl)-2-

[(2-methyl-4-oxo-1-sulfo-3-azetidinyl)amino]-2-oxoethylidene]amino]oxyl]-2-methylpropanoic acid in DMF at 0° was treated with hydroxybenzotriazole, Bu3N, dimethylaminopyridine, and DCC; after 1 h, 3,4-dihydroxy-5-sulfobenzoic acid hydrazide (preparation given) and Bu3N in DMF were added and the mixture was stirred at 20° for 15 h to give, after treatment with C4F9SO3K, title compd II.

NOTE 1A



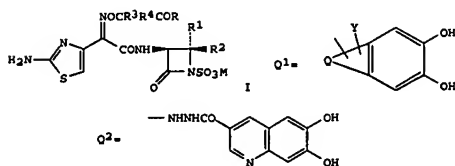
L6 ANSWER 25 OF 26 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 115:158831 MARPAT  
 TITLE: Preparation of astreonom 2-(quinolinylcarbonyl)hydrazides and analogs as antibiotics  
 INVENTOR(S): Ermann, Peter Hans; Straub, Henner  
 PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA  
 SOURCE: Eur. Pat. Appl., 40 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|-------------|------|----------|-----------------|----------|
| EP 420069   | A2   | 19910403 | EP 1990-118218  | 19900921 |
| EP 420069   | A3   | 19910605 |                 |          |
| CA 2024282  | AA   | 19910322 | CA 1990-2024282 | 19900830 |
| JP 03120276 | A2   | 19910522 | JP 1990-254057  | 19900921 |
|             |      |          | US 1989-410217  | 19890921 |

PRIORITY APPLN. INFO.:

G1

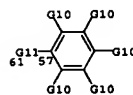


AB The title compds. [I; M = H, cation; R = NNNHCOARS; A = bond, alkylene; R1, R2 = H, (cyclo)alkyl, alkenyl, (un)substituted Ph, etc., or 1 of R1, R2 = H and the other = N3, halomethyl, alkoxy, carbonyl, styryl, CO2H, etc.; R3, R4 = H, alkyl; CR3R4 = cycloalkylidene; R5 = heterocyclic group Q1; Q = atoms to complete a 5- or 6-membered (aromatic) heterocyclic ring; Y = H, NH2, OH, CO2H, halo, etc.] were prepared as antibiotics (no data). Thus, 6,7-dihydroxy-3-quinolinecarboxylic acid hydrazide (preparation given) was condensed with astreonom to give I (M = K, R = quinolinylcarbonylhydrazo group Q2, R1 = Me, R2 = H, R3 = R4 = Me).

NOTE 1A

L6 ANSWER 24 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G7 = 61



G11 = 98-3 99-57

G19-G22

G19 = 209-3 210-99

G20-G21

G20 = (0-2) CH2

G22 = NH

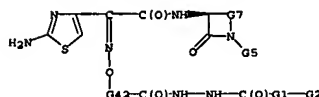
Derivative:

Patent location:

Note:

or pharmaceutically acceptable salt  
 claim 1  
 substitution is restricted

L6 ANSWER 25 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G1 = bond

G5 = SO3H

G7 = 43

H2C-G10

G10 = 52

G13-G15

G13 = 60-43 61-53

H2C-G10

G15 = 84

G16-G17

G16 = NH

G17 = Ph (opt. substd.)

Derivative:

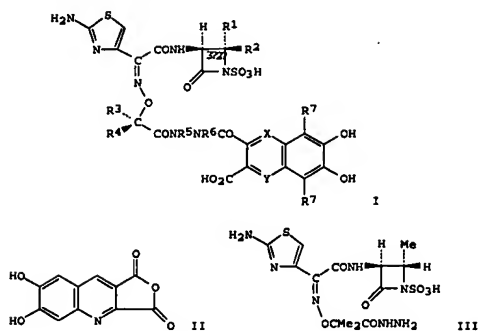
Patent location:

and pharmaceutically acceptable salts  
 claim 1

L6 ANSWER 26 OF 26 MARPAT COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 113:40326 MARPAT  
 TITLE: Heteroarylhydrazide derivatives of monocyclic  $\beta$ -lactam antibiotics  
 INVENTOR(S): Sundeen, Joseph Edward; Ermann, Peter Hans  
 PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA  
 SOURCE: Eur. Pat. Appl., 29 pp.  
 CODEN: EPXADW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

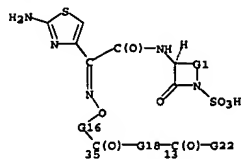
| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| EP 342423  | A2   | 19891123 | EP 1989-107843  | 19890429 |
| EP 342423  | A3   | 19910417 |                 |          |
| R1: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE |      |          |                 |          |
| US 4904775   | A    | 19900227 | US 1988-194355  | 19880516 |
| ZA 8903483   | A    | 19900131 | ZA 1989-3483    | 19890510 |
| DK 8902348   | A    | 19891117 | DK 1989-2348    | 19890512 |
| AU 8934847   | A1   | 19891116 | AU 1989-34847   | 19890516 |
| AU 618598  | B2   | 19920102 |                 |          |
| JP 02017189  | A2   | 19900122 | JP 1989-122705  | 19890516 |
| US 5037983   | A    | 19910806 | US 1989-444237  | 19891201 |
| AU 9185768   | A1   | 19911205 | AU 1991-85768   | 19911011 |
| AU 640531  | B2   | 19930826 |                 |          |
| PRIORITY APPLN. INFO.:<br>G1                           |      |          | US 1988-194355  | 19880516 |

L6 ANSWER 26 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



AB The title compds. (I; R1, R2 = H, alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R3, R4 = H, alkyl, R3R4 = alkylene; R5, R6 = H, alkyl; or R5R6 = C2-5 alkylene; R7 = H, F, Cl, Br; X, Y = N, CH), useful as bactericides against gram-pos. and gram-neg. organisms, are prepared. A solution of 485 mg anhydride II in DMP was treated with a solution of 1.42 g hydrazide III (preparation given) in DMP at 25° and enough Et3N to raise pH to 7.5 to give 3.05 mg (2S,2'a,3'β)-(Z)-I (R1 = R3 = R4 = Me, R2 = R5 = R6 = R7 = H, X = N, Y = CH), and 135 mg isomer I (X = CH, Y = N). Also prepared were 7 addnl. I. I are effective in combating bacterial infection in mammals at 14-100 mg/kg-day.

MPSTR 1A



L6 ANSWER 26 OF 26 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G1 = 39

G3 = 51

G5 = 53

G6 = 54

G7 = 55

G8 = 56

G9 = 57

G10 = 58

G11 = 59

Patent location: claim 1

10/823,372

=> d his

(FILE 'HOME' ENTERED AT 10:48:46 ON 30 MAR 2006)

FILE 'REGISTRY' ENTERED AT 10:48:50 ON 30 MAR 2006

L1 STRUCTURE UPLOADED

L2 7 S L1 SAM

L3 106 S L1 FULL

FILE 'CA' ENTERED AT 10:49:14 ON 30 MAR 2006

L4 3 S L3

FILE 'MARPAT' ENTERED AT 10:49:32 ON 30 MAR 2006

L5 28 S L1 FULL

L6 26 S L5/COM

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---Logging off of STN---

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Executing the logoff script...

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STN INTERNATIONAL LOGOFF AT 10:54:07 ON 30 MAR 2006